

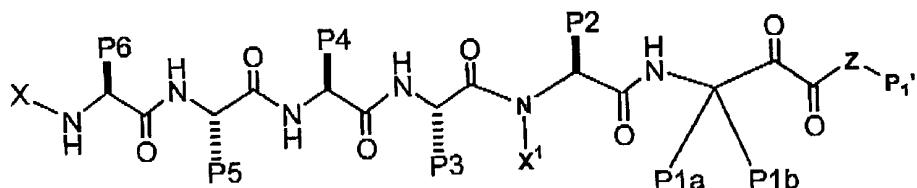
PATENT CASE IN01157K

CLEAN COPY OF ELECTED CLAIMS

What is claimed is:

1. A compound, including enantiomers, stereoisomers, rotomers and tautomers of said compound, and pharmaceutically acceptable salts, solvates or derivatives thereof, with said compound having the general structure shown in

Formula I:



Formula I

10 wherein:

Z is O, NH or NR¹²;

X is alkylsulfonyl, heterocyclsulfonyl, heterocyclalkylsulfonyl, arylsulfonyl,

heteroarylsulfonyl, alkylcarbonyl, heterocyclcarbonyl,

heterocyclalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl,

heterocyclyoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl,

alkyaminocarbonyl, heterocyclaminocarbonyl, arylaminocarbonyl, or

heteroarylaminocarbonyl moiety, with the proviso that X may be additionally

optionally substituted with R12 or R13;

X¹ is H; C₁-C₄ straight chain alkyl; C₁-C₄ branched alkyl or ; CH₂-aryl (substituted or unsubstituted);

R12 is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocycl,

heterocyclalkyl, aryl, alkylaryl, arylalkyl, heteroaryl, alkylheteroaryl, or

heteroarylalkyl moiety, with the proviso that R12 may be additionally

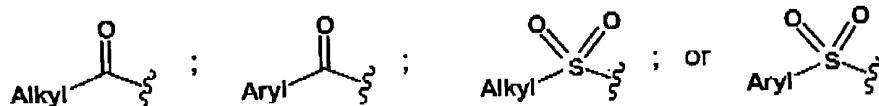
optionally substituted with R13.

25 R13 is hydroxy, alkoxy, aryloxy, thio, alkylthio, arylthio, amino, alkylamino, arylamino, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, arylsulfonamido, carboxy, carbalkoxy, carboxamido, alkoxy carbonylamino, alkoxy carbonyloxy, alkylureido, arylureido, halogen, cyano, or nitro moiety, with the proviso that the alkyl, alkoxy, and aryl may be additionally optionally substituted with

30 moieties independently selected from R13.

P1a, P1b, P2, P3, P4, P5, and P6 are independently:
H; C1-C10 straight or branched chain alkyl; C2-C10 straight or branched
chain alkenyl;
C3-C8 cycloalkyl, C3-C8 heterocyclic; (cycloalkyl)alkyl or (heterocyclyl)alkyl ,
5 wherein said cycloalkyl is made up of 3 to 8 carbon atoms, and zero to 6
oxygen, nitrogen, sulfur, or phosphorus atoms, and said alkyl is of 1 to 6
carbon atoms;
aryl, heteroaryl, arylalkyl, or heteroarylalkyl, wherein said alkyl is of 1 to 6
carbon atoms;
10 wherein said alkyl, alkenyl, cycloalkyl, heterocyclyl; (cycloalkyl)alkyl and
(heterocyclyl)alkyl moieties may be optionally substituted with R13, and
further wherein said P1a and P1b may optionally be joined to each other to
form a spirocyclic or spiroheterocyclic ring, with said spirocyclic or
spiroheterocyclic ring containing zero to six oxygen, nitrogen, sulfur, or
15 phosphorus atoms, and may be additionally optionally substituted with R13;
and
P1' is H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocyclyl,
heterocyclyl-alkyl, aryl, aryl-alkyl, heteroaryl, or heteroaryl-alkyl; with the proviso
that said P1' may be additionally optionally substituted with R13.

- 20 2. The compound of claim 1, wherein X is selected from the group consisting
of:



wherein Alkyl is a C1 to C4 straight or branched chain, and Aryl is a phenyl or
25 substituted phenyl.

3. The compound of claim 2, wherein X is -CO-CH₃.
4. The compound of claim 2, wherein X is -CO-phenyl.
5. The compound of claim 1, wherein P5 and P6 are the same and are:
-(CH₂)_n-C(O)-R¹, where n= 1-4 and R¹ is OH, O-t-Bu, OR³, NHR³, NH-phenyl or
30 NH-trityl, with R³ being selected from H, C₁-C₄ straight or branched chain alkyl.
6. The compound of claim 1, wherein P5 and P6 are different and are:

$-(CH_2)_n-C(O)-R^1$, where $n=1-4$ and R^1 is OH, O-t-Bu, OR³, NHR³, NH-phenyl or NH-trityl, with R³ being selected from H, C₁-C₄ straight or branched chain alkyl.

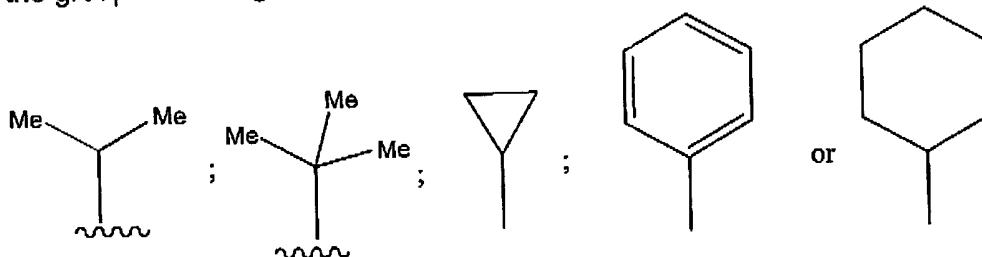
7. The compound of claim 5, wherein P5 and P6 are -CH₂-CH₂-C(O)-O-C(CH₃)₃ or -CH₂-CH₂-C(O)-OH.

8. The compound of claim 6, wherein P5 and P6 are independently selected from -CH₂-CH₂-C(O)-O-C(CH₃)₃ or -CH₂-CH₂-C(O)-OH.

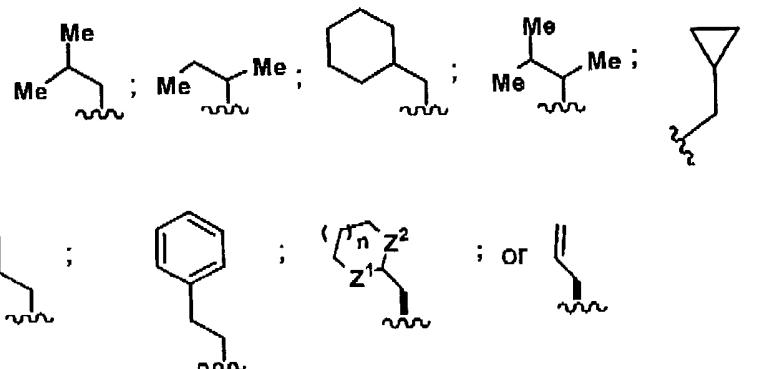
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9. The compound of claim 1, wherein P3 and P4 are the same.

10. The compound of claim 1, wherein P3 and P4 are different.

11. The compound of claim 1, wherein P3 and P4 are independently selected
10 from the group consisting of:

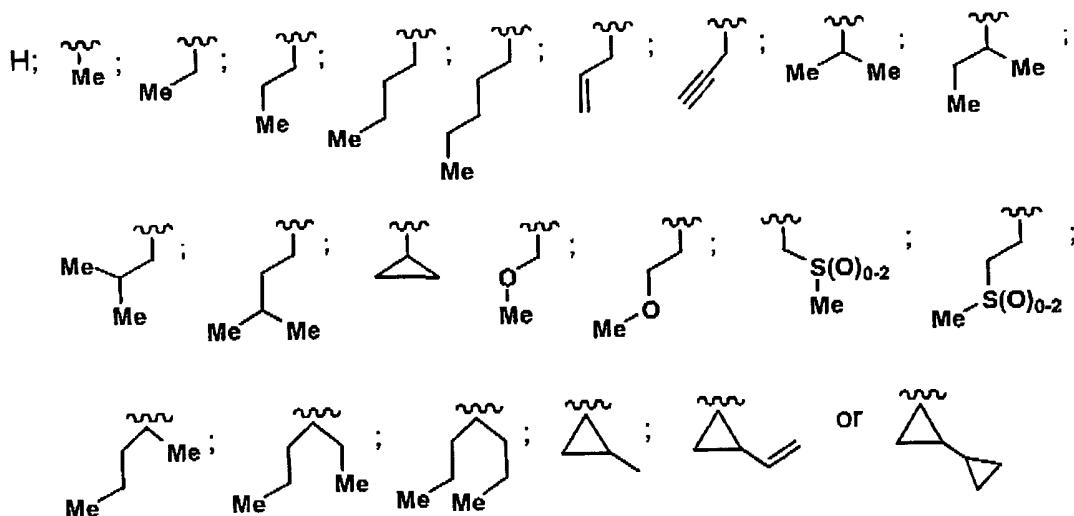


12. The compound of claim 1, wherein P2 is selected from the group consisting of:

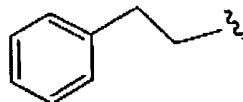
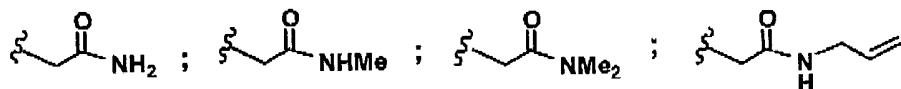
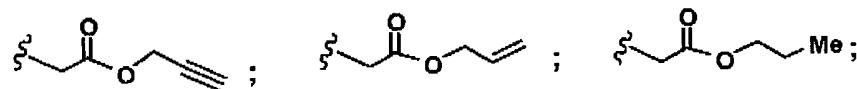
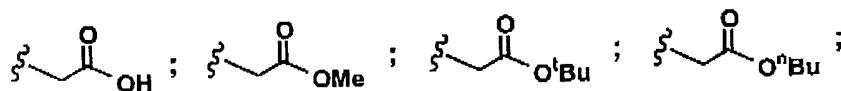
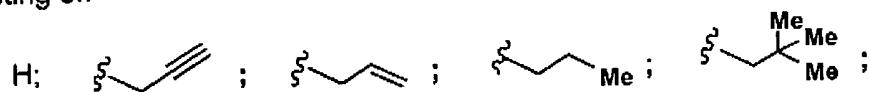


- 15 wherein n is 0, 1, 2 or 3.

13. The compound of claim 1, wherein P1a and P1b are independently selected from the group consisting of:

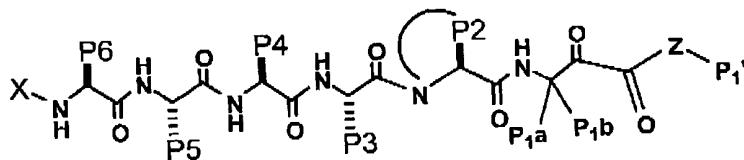


14. The compound of claim 1, wherein P1' is selected from the group consisting of:



5 15. The compound of claim 1, wherein Z is NH and X¹ is H.

16. A compound, including enantiomers, stereoisomers, rotamers and tautomers of said compound, and pharmaceutically acceptable salts or solvates of said compound having the general structure shown in Formula II:



Formula II

wherein:

Z is O, NH or NR¹²;

X is alkylsulfonyl, heterocyclsulfonyl, heterocyclalkylsulfonyl, arylsulfonyl,

- 5 heteroarylsulfonyl, alkylcarbonyl, heterocyclcarbonyl,
heterocyclalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl,
heterocyclyloxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl,
alkyaminocarbonyl, heterocyclaminocarbonyl, arylaminocarbonyl, or
heteroarylaminocarbonyl moiety, with the proviso that X may be additionally
10 optionally substituted with R12 or R13;

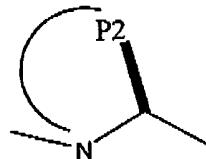
- R12 is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocyclyl,
heterocyclalkyl, aryl, alkylaryl, arylalkyl, heteroaryl, alkylheteroaryl, or
heteroarylalkyl moiety, with the proviso that R12 may be additionally
15 optionally substituted with R13;

- 15 R13 is hydroxy, alkoxy, aryloxy, thio, alkylthio, arylthio, amino, alkylamino,
arylamino, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, arylsulfonamido,
carboxy, carbalkoxy, carboxamido, alkoxy carbonylamino, alkoxy carbonyloxy,
alkylureido, arylureido, halogen, cyano, or nitro moiety, with the proviso that
the alkyl, alkoxy, and aryl may be additionally optionally substituted with
20 moieties independently selected from R13;

- P1a, P1b, P2, P3, P4, P5, and P6 are independently:
H; C1-C10 straight or branched chain alkyl; C2-C10 straight or branched
chain alkenyl;
C3-C8 cycloalkyl, C3-C8 heterocyclic; (cycloalkyl)alkyl or (heterocycl)alkyl ,
25 wherein said cycloalkyl is made up of 3 to 8 carbon atoms, and zero to six
oxygen, nitrogen, sulfur, or phosphorus atoms, and said alkyl is of 1 to 6
carbon atoms; or

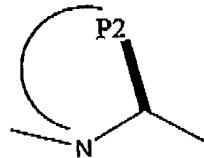
aryl, heteroaryl, arylalkyl, or heteroarylalkyl, wherein said alkyl is of 1 to 6 carbon atoms;
 wherein said alkyl, alkenyl, cycloalkyl, heterocyclyl, (cycloalkyl)alkyl and (heterocyclyl)alkyl moieties may be optionally substituted with R¹³ and further wherein said P¹ may optionally be a spirocyclic or spiroheterocyclic ring, with said spirocyclic or spiroheterocyclic ring containing zero to six oxygen, nitrogen, sulfur, or phosphorus atoms, and may be additionally optionally substituted with R¹³; and

P^{1'} is H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocyclyl, heterocyclyl-alkyl, aryl, aryl-alkyl, heteroaryl, or heteroaryl-alkyl; with the proviso that said P^{1'} may be additionally optionally substituted with R¹³; and



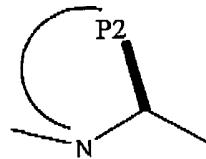
indicates a cyclic ring structure, with the proviso that said cyclic ring structure does not contain a carbonyl group as part of the cyclic ring.

17. The compound of Claim 16, wherein said



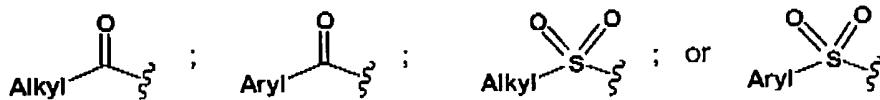
indicates a five-membered ring.

18. The compound of Claim 16, wherein said



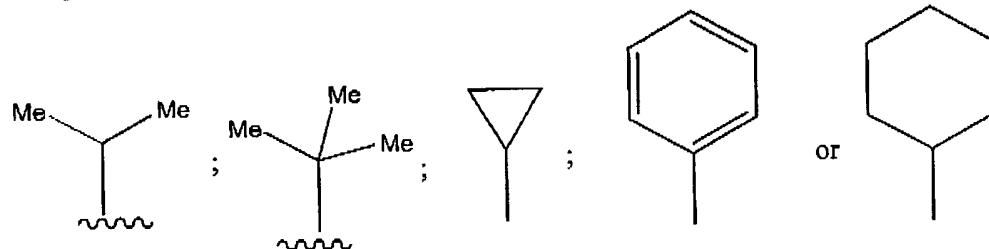
20 indicates a six-membered ring.

19. The compound of claim 16, wherein X is selected from the group consisting of:

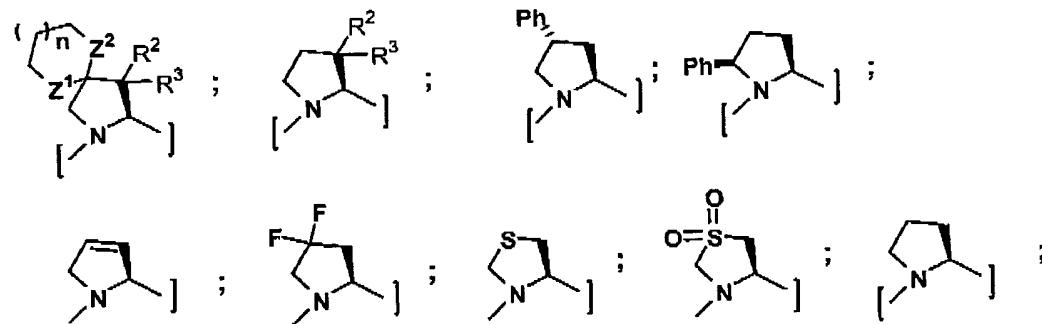


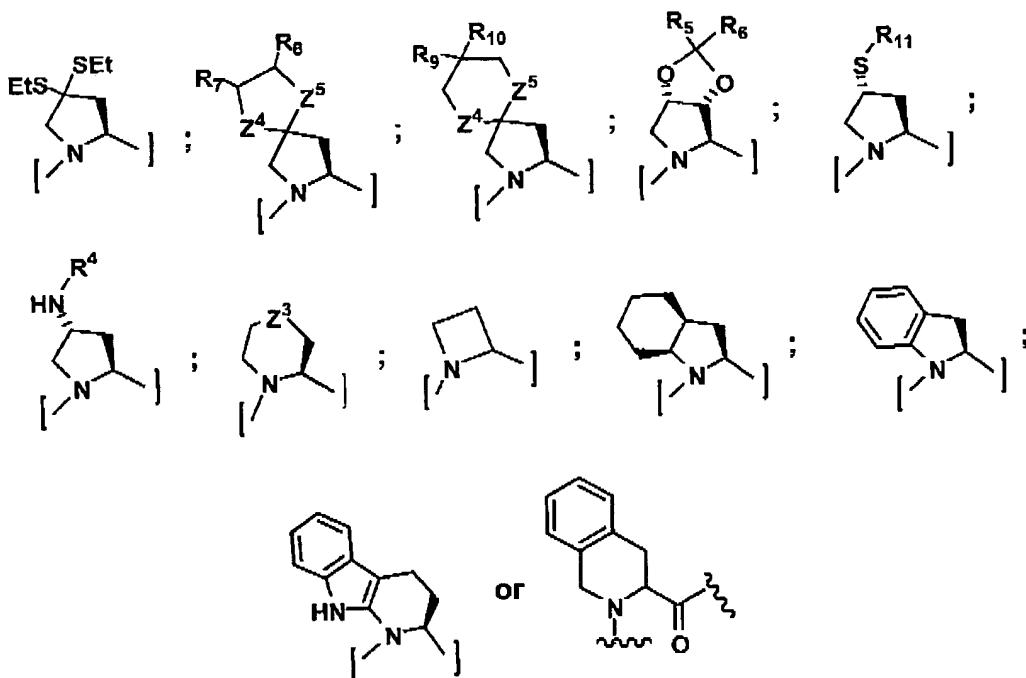
wherein Alkyl is a C1 to C4 straight or branched chain, and Aryl is a phenyl or substituted phenyl.

20. The compound of claim 19, wherein X is $-CO-CH_3$.
21. The compound of claim 19, wherein X is $-CO-phenyl$.
- 5 22. The compound of claim 16, wherein P5 and P6 are the same and are: $-(CH_2)_n-C(O)-R^1$, where n= 1-4 and R¹ is OH, O-t-Bu, OR³, NHR³, NH-phenyl or NH-trityl, with R³ being selected from H, C₁-C₄ straight or branched chain alkyl.
23. The compound of claim 16, wherein P5 and P6 are different and are: $-(CH_2)_n-C(O)-R^1$, where n= 1-4 and R¹ is OH, O-t-Bu, OR³, NHR³, NH-phenyl or 10 NH-trityl, with R³ being selected from H, C₁-C₄ straight or branched chain alkyl.
24. The compound of claim 22, wherein P5 and P6 are $-CH_2-CH_2-C(O)-O-C(CH_3)_3$ or $-CH_2-CH_2-C(O)-OH$.
25. The compound of claim 23, wherein P5 and P6 are independently selected from $-CH_2-CH_2-C(O)-O-C(CH_3)_3$ or $-CH_2-CH_2-C(O)-OH$.
- 15 26. The compound of claim 16, wherein P3 and P4 are the same.
27. The compound of claim 16, wherein P3 and P4 are different.
28. The compound of claim 16, wherein P3 and P4 are independently selected from the group consisting of:



- 20 29. The compound of claim 16, wherein P2 is selected from the group consisting of:





wherein n = 0, 1, 2, or 3; and

$R^2 = R^3 = H$; $R^2 = C_1$ to C_6 straight chainalkyl or cycloalkyl; $R^3 = H$

$R^4 = COAlkyl$ (straight chain or cyclic, G_1 to C_6); $COAryl$; $COOAlkyl$; $COOAryl$

$R^5 = H$; $R^6 = Alkyl$ (C_1 to C_3); $R^6 = H$; $R^5 = Alkyl$ (C_1 to C_3)

$R^7 = H$; $R^8 = Alkyl$ (C_1 to C_3), CH_2OH ; $R^8 = H$; $R^7 = Alkyl$ (C_1 to C_3), CH_2OH ;

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$R^7 = R^8 = Alkyl$ (C_1 to C_3), CH_2OH

$R^9 = R^{10} = Alkyl$ (C_1 to C_3); $R^9 = H$, $R^{10} = Alkyl$ (C_1 to C_3), $COOMe$, $COOH$, CH_2OH ;

$R^{10} = H$, $R^9 = Alkyl$ (C_1 to C_3), $COOMe$, $COOH$, CH_2OH ;

$R^{11} = Alkyl$ (C_1 to C_6 straight chain, branched or cyclic), CH_2Aryl (may be substituted)

$Z^1 = Z^2 = S$, O ; $Z^1 = S$, $Z^2 = O$; $Z^1 = O$, $Z^2 = S$; $Z^1 = CH_2$, $Z^2 = O$; $Z^1 = O$, $Z^2 = CH_2$;

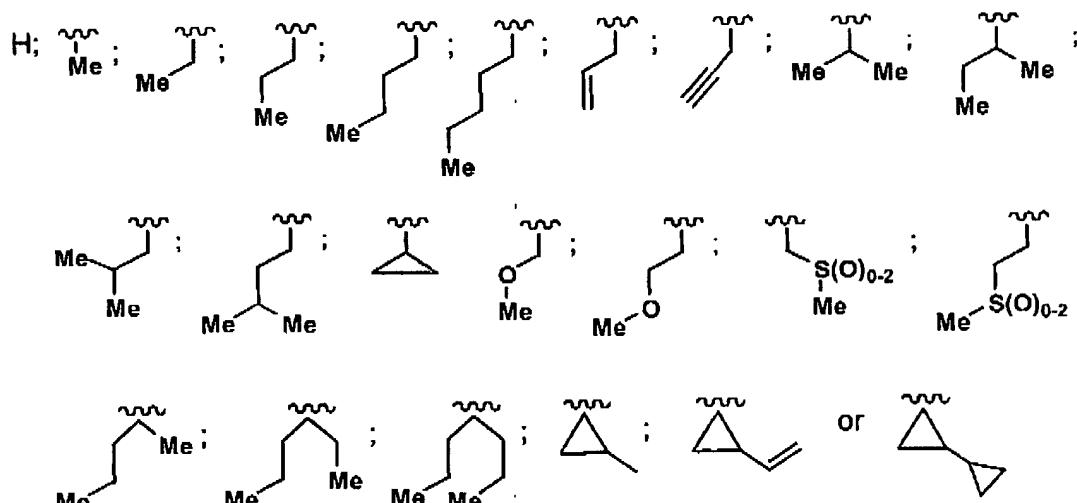
$Z_1 = S$, $Z_2 = CH_2$; $Z^1 = CH_2$, $Z^2 = S$

$Z^3 = CH_2$, S , SO_2 , NH , NR^4

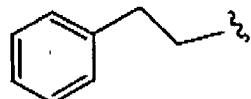
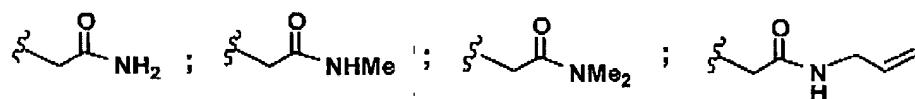
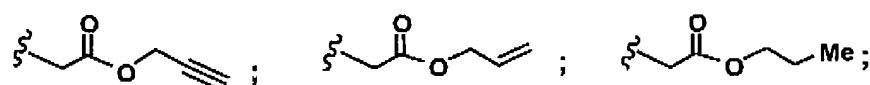
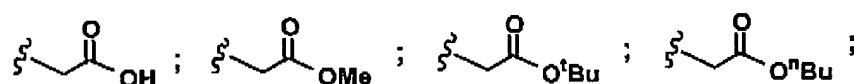
$Z^4 = Z^5 = S$, O

30. The compound of claim 16, wherein P1a and P1b are independently

10 selected from the group consisting of:



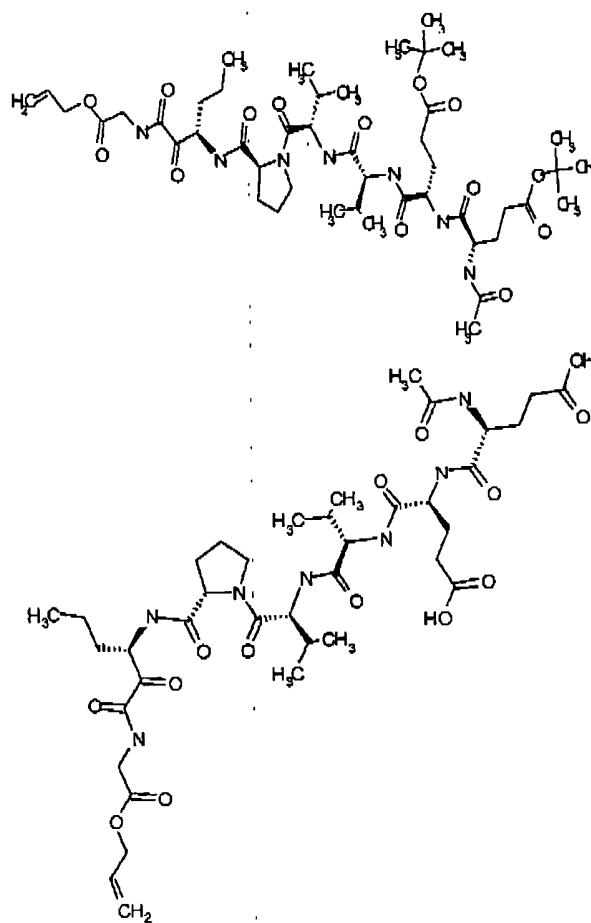
31. The compound of claim 16, wherein P1' is selected from the group consisting of:

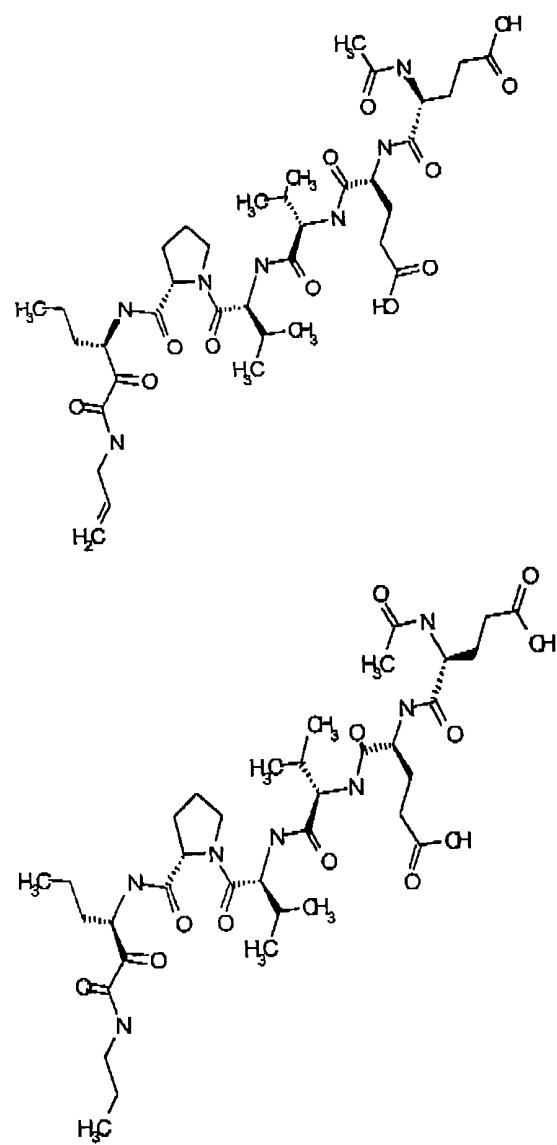


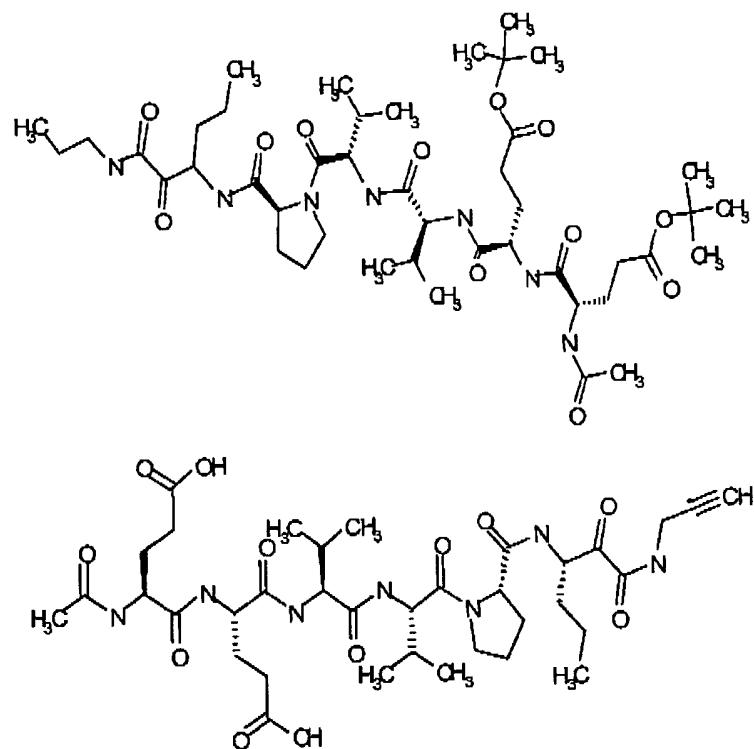
- 5 32. The compound of claim 16, wherein Z is NH.
33. A pharmaceutical composition comprising as an active ingredient a
compound of claim 1 or claim 16.
35. The pharmaceutical composition of claim 33 additionally comprising a
pharmaceutically acceptable carrier.

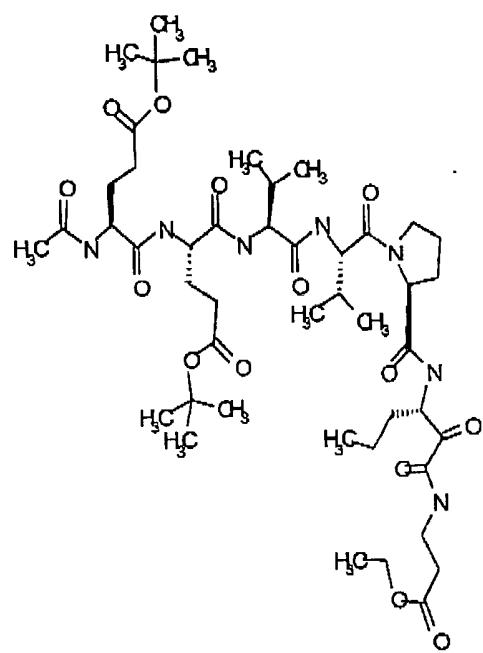
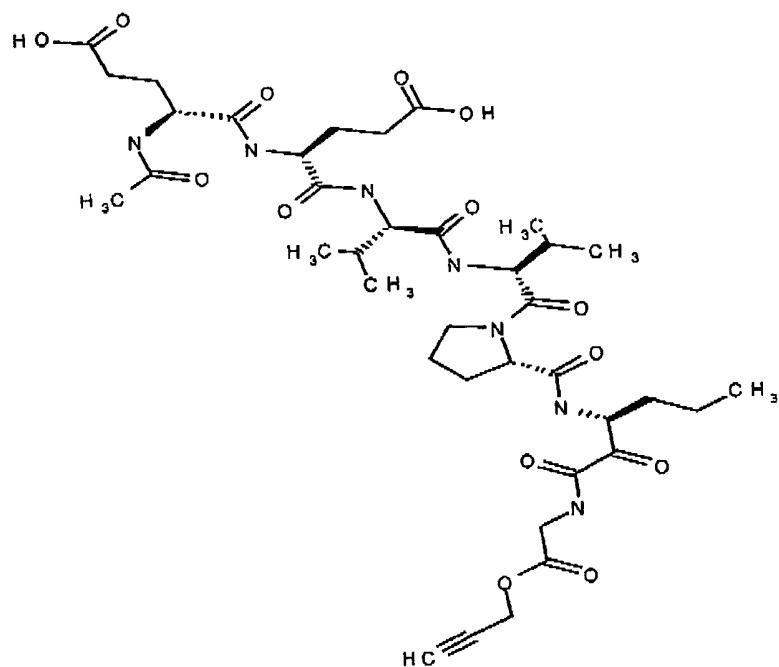
40. A compound exhibiting HCV protease inhibitory activity, including enantiomers, stereoisomers, rotamers and tautomers of said compound, and pharmaceutically acceptable salts or solvates of said compound, said compound being selected from the group of compounds with structures listed below:

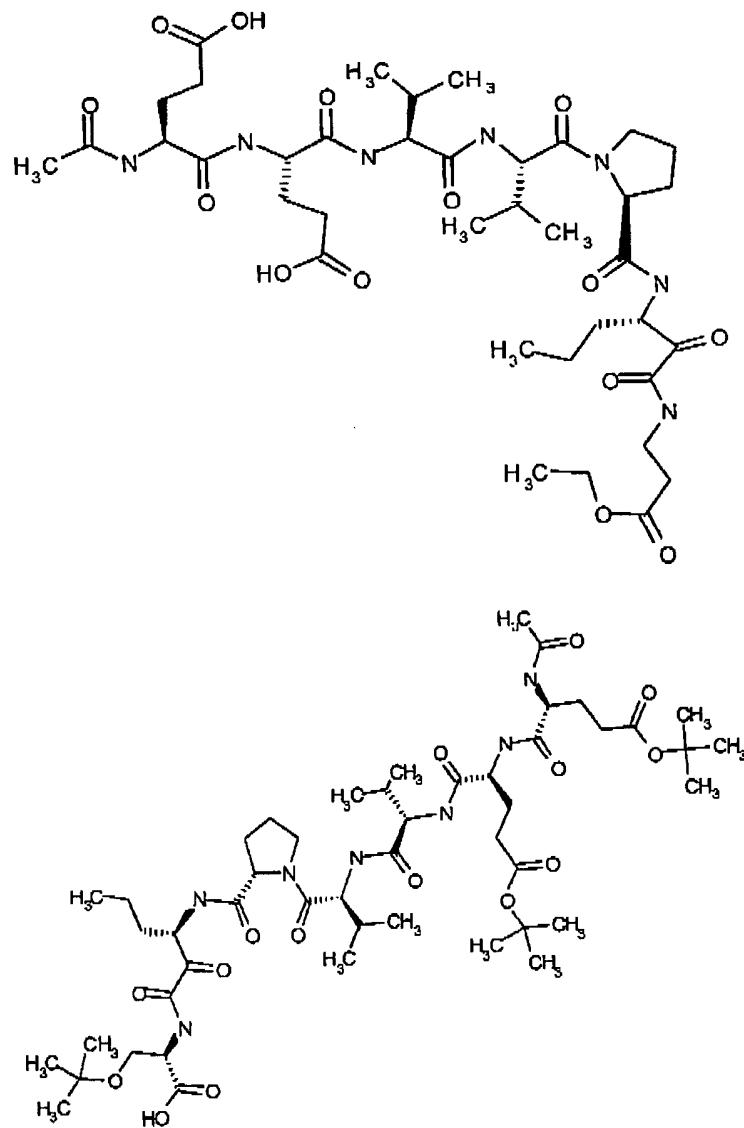
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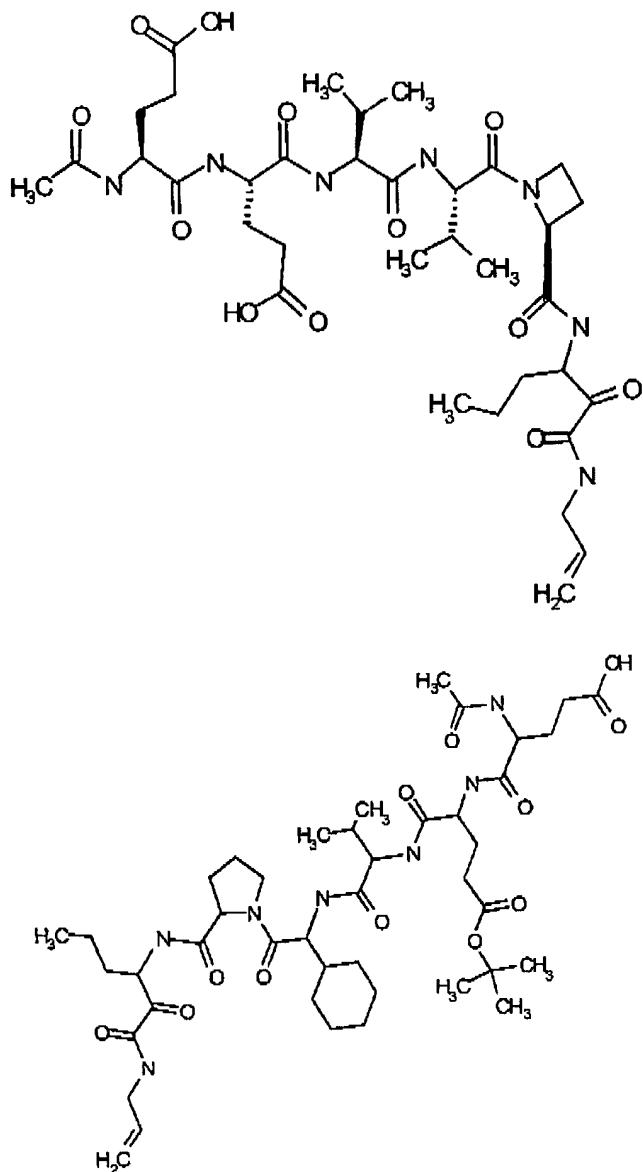


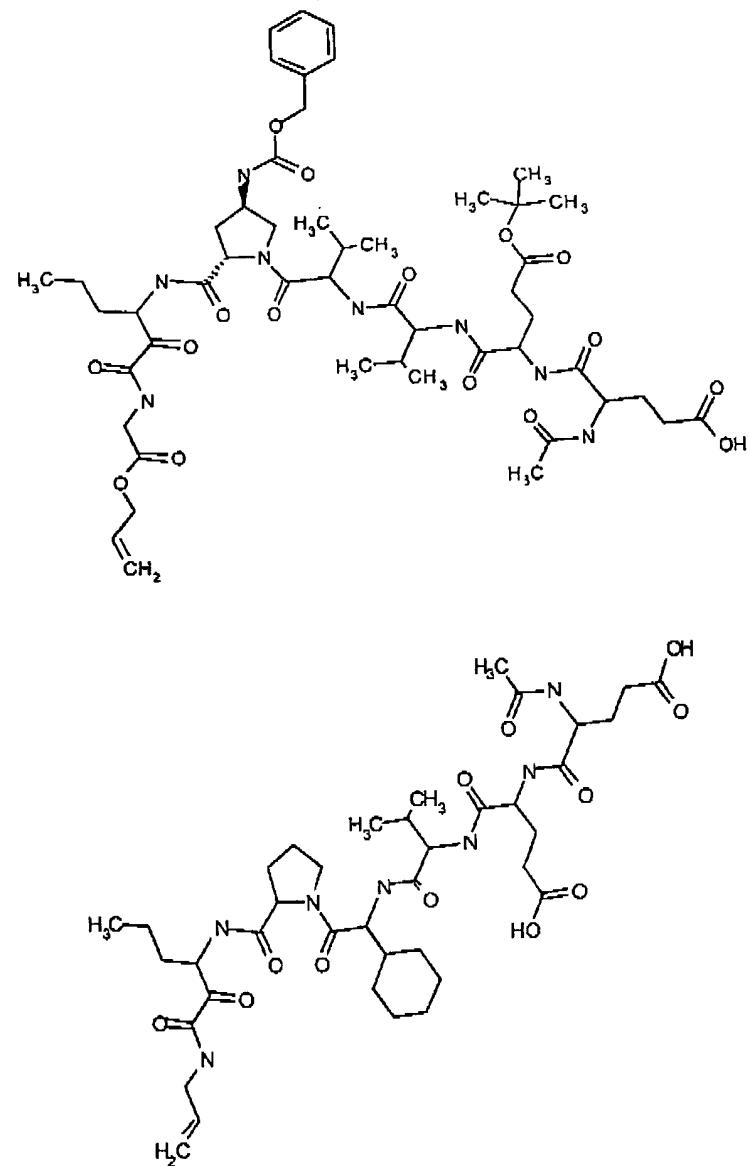


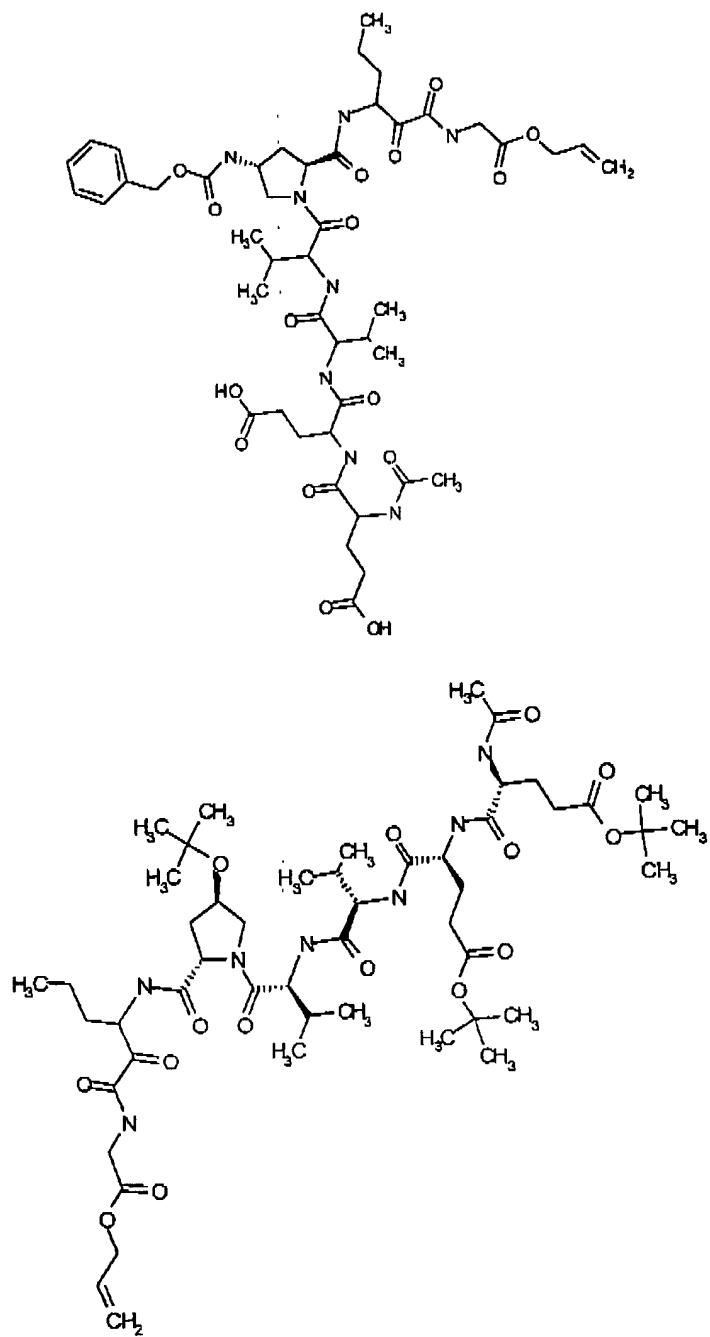


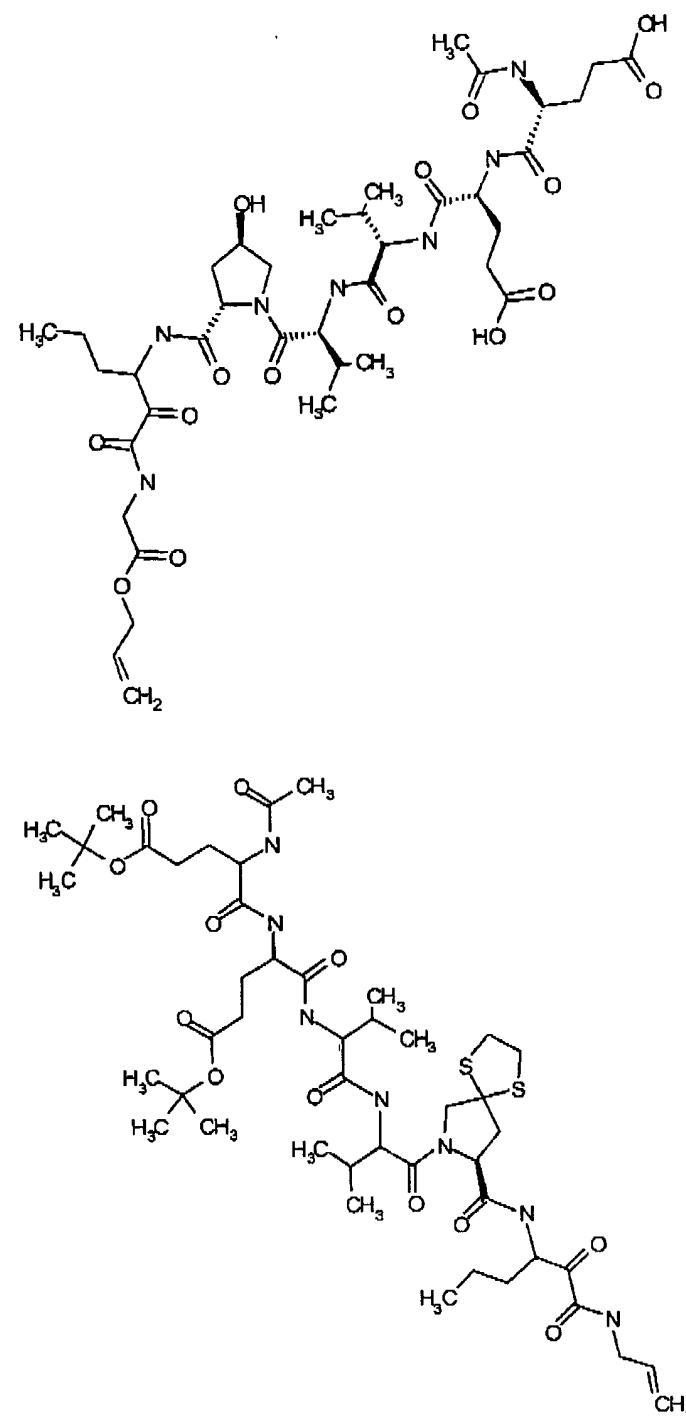


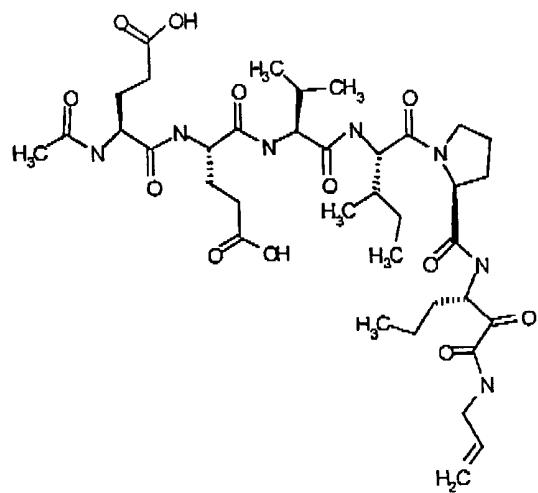
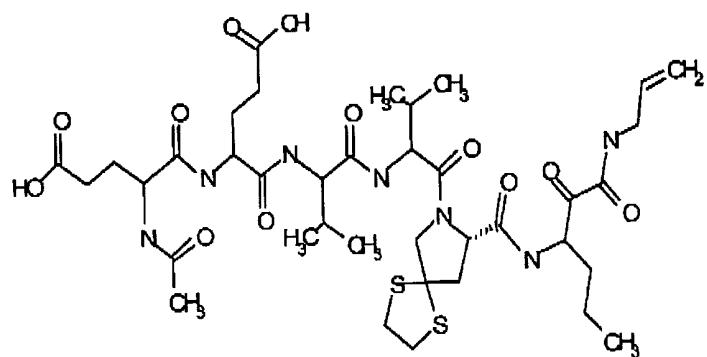
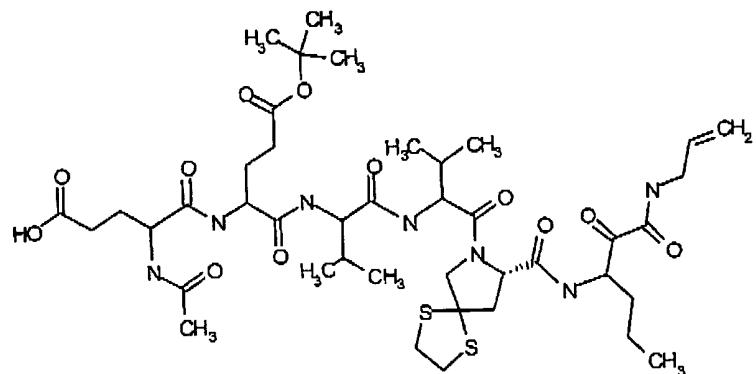


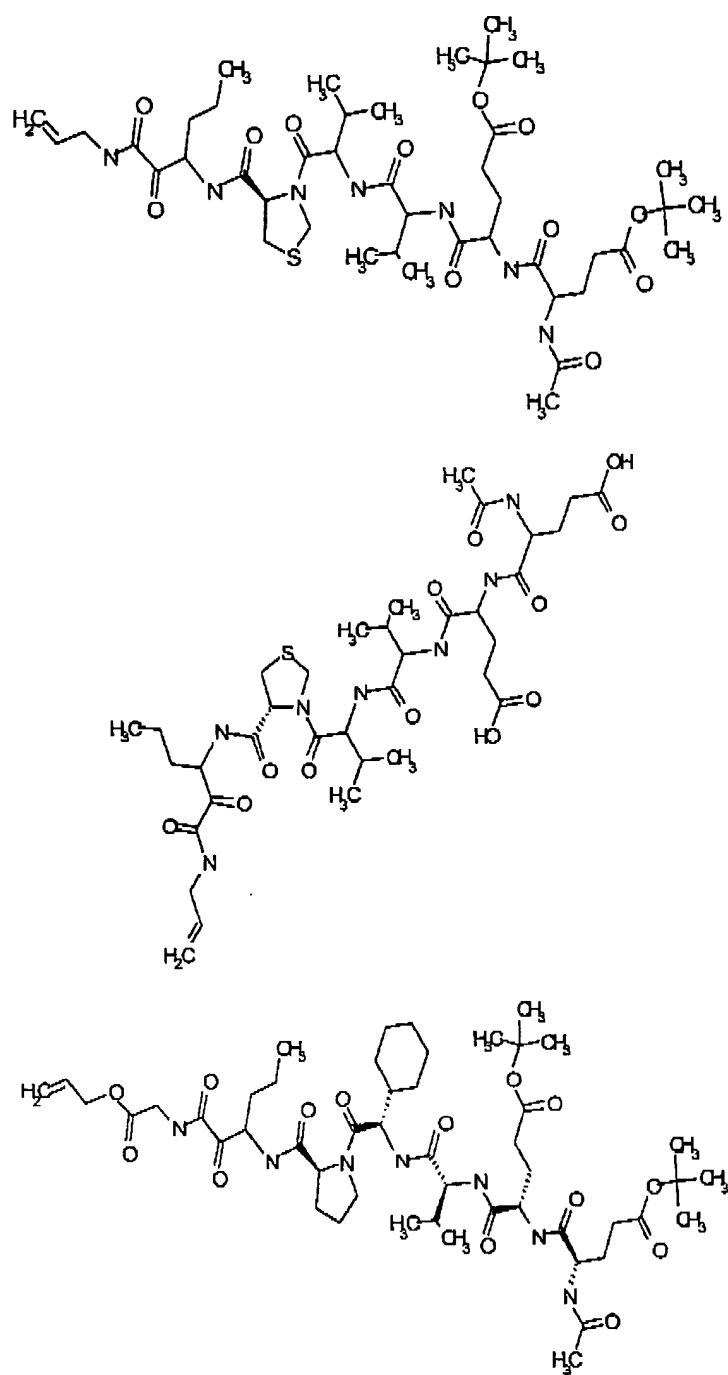


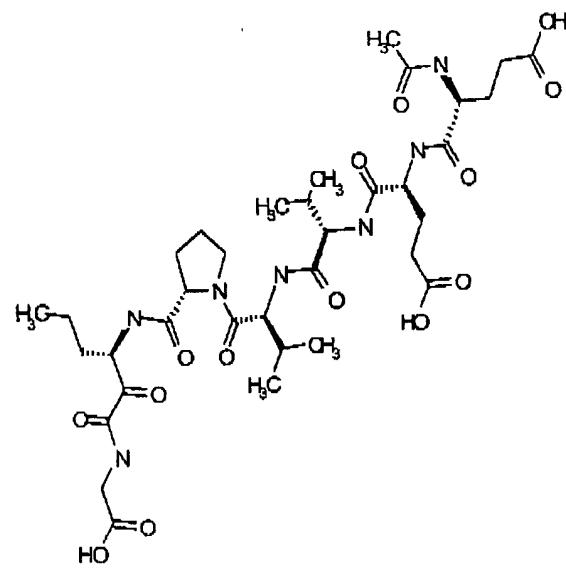
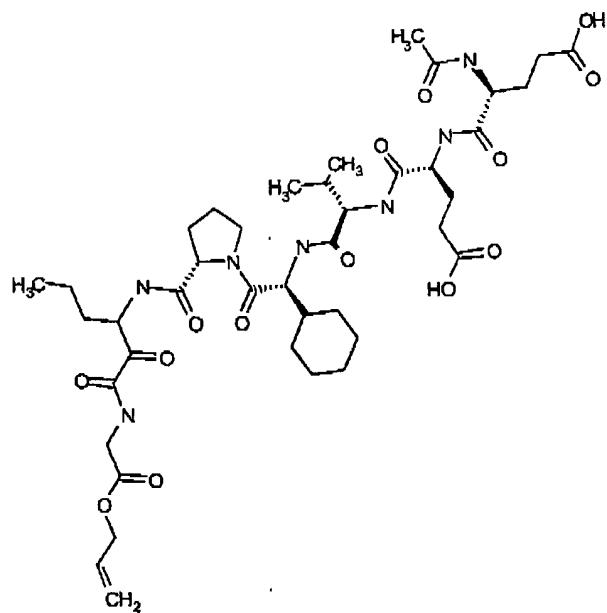


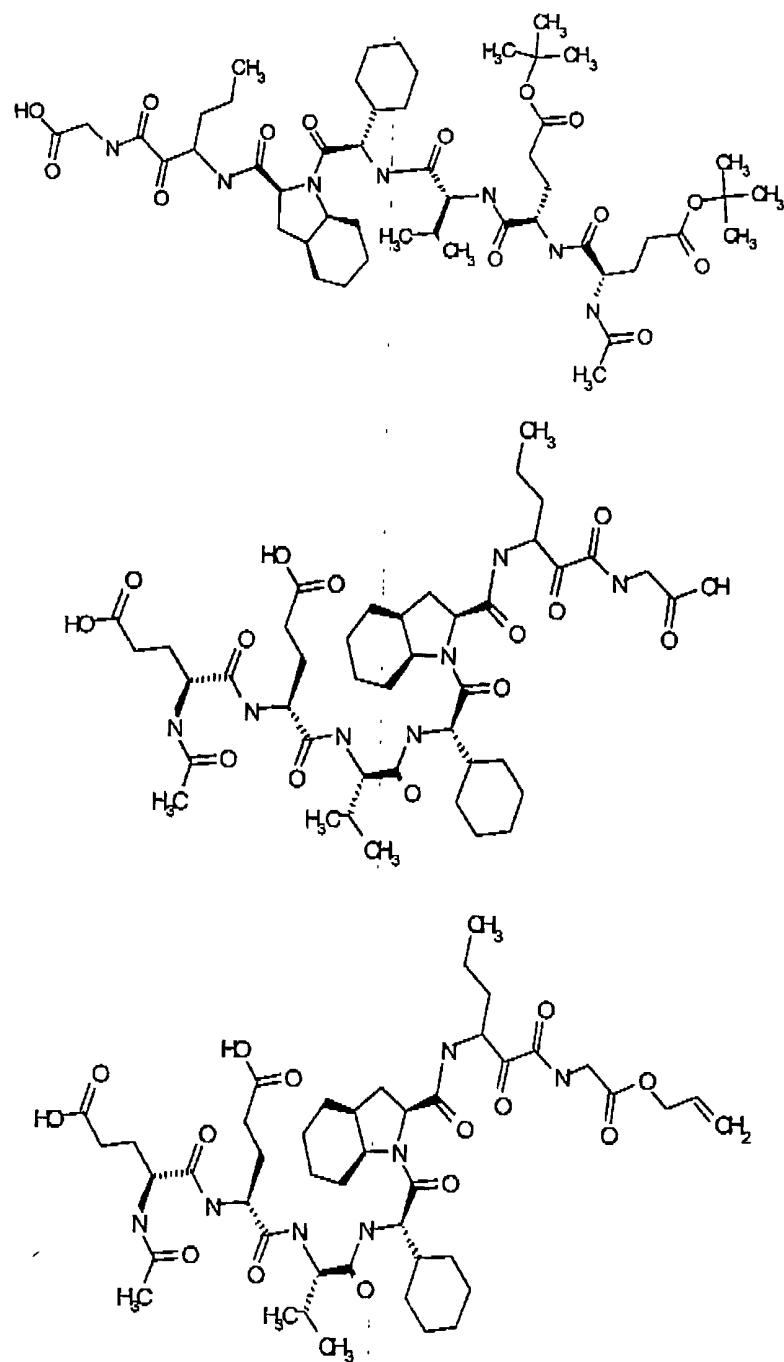


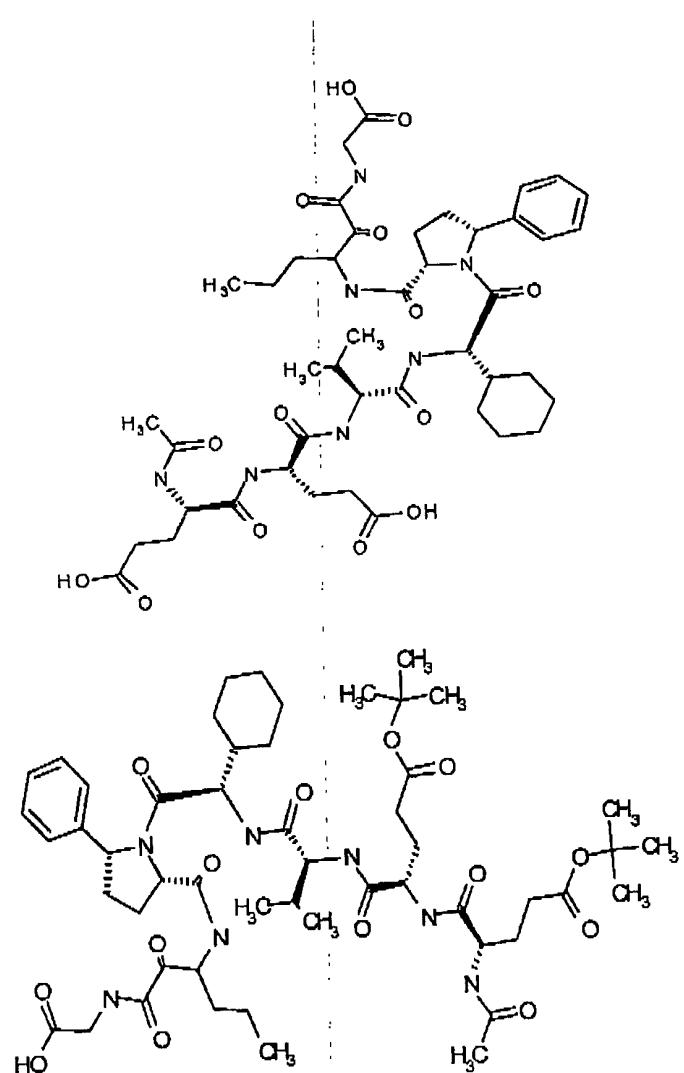


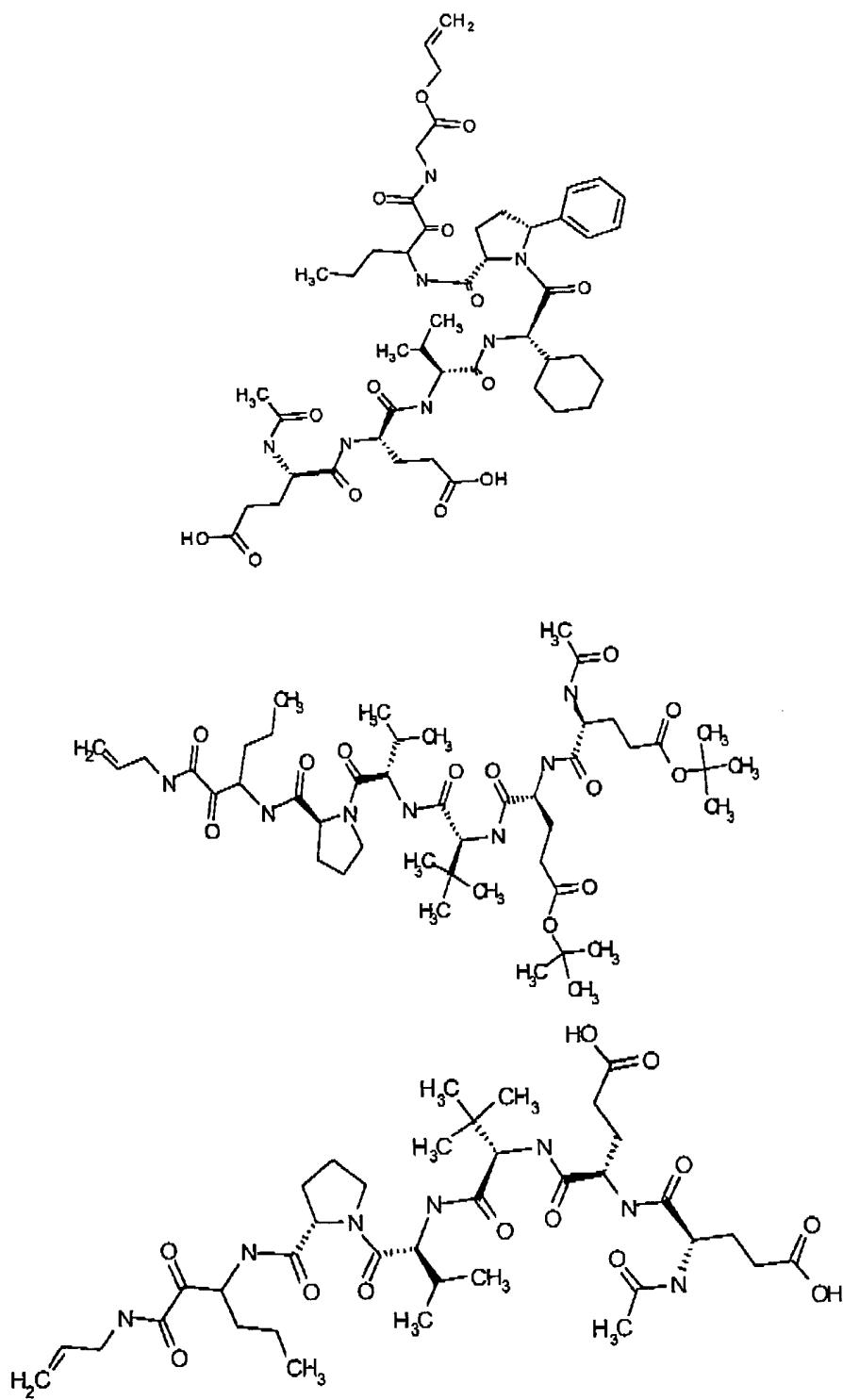


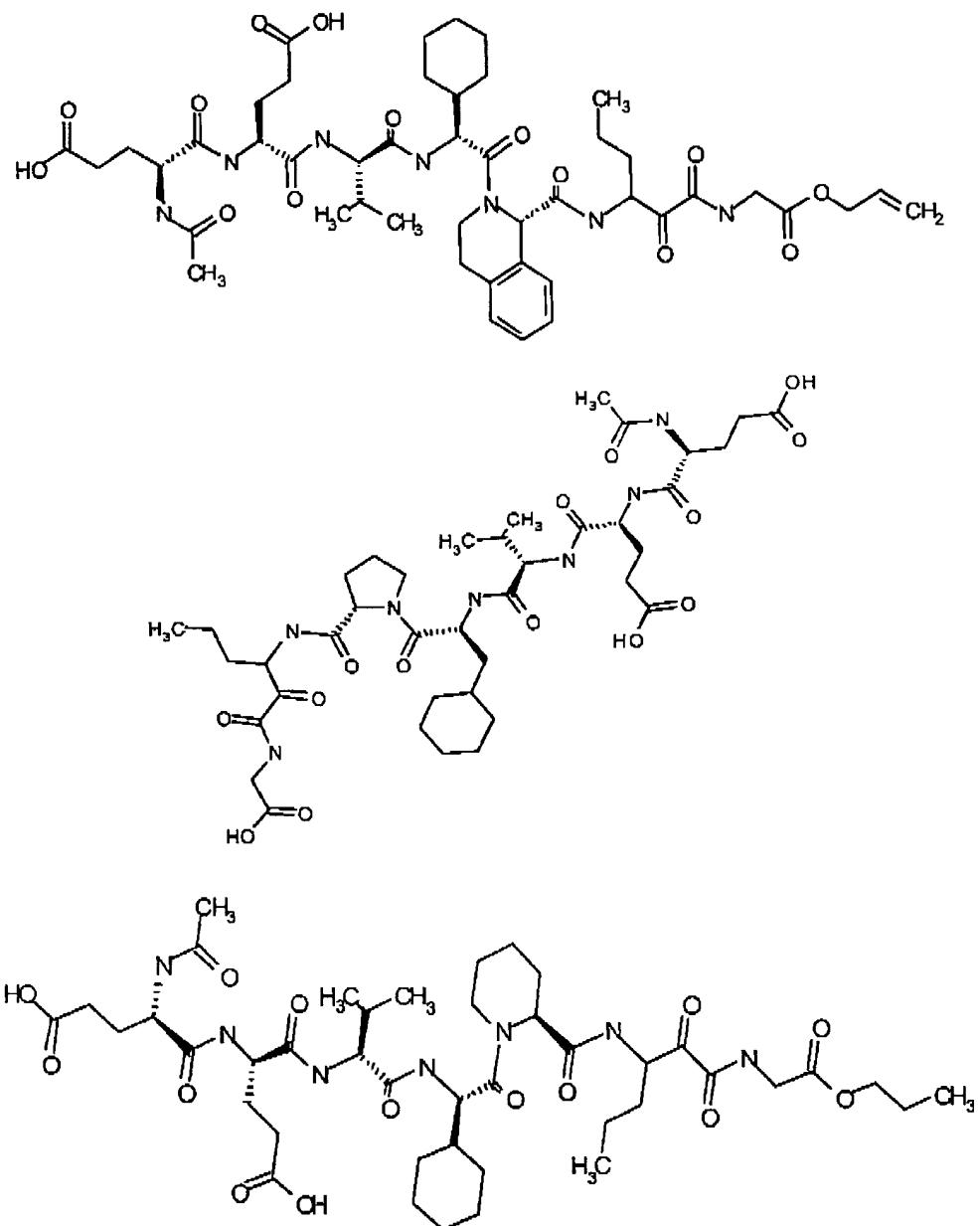


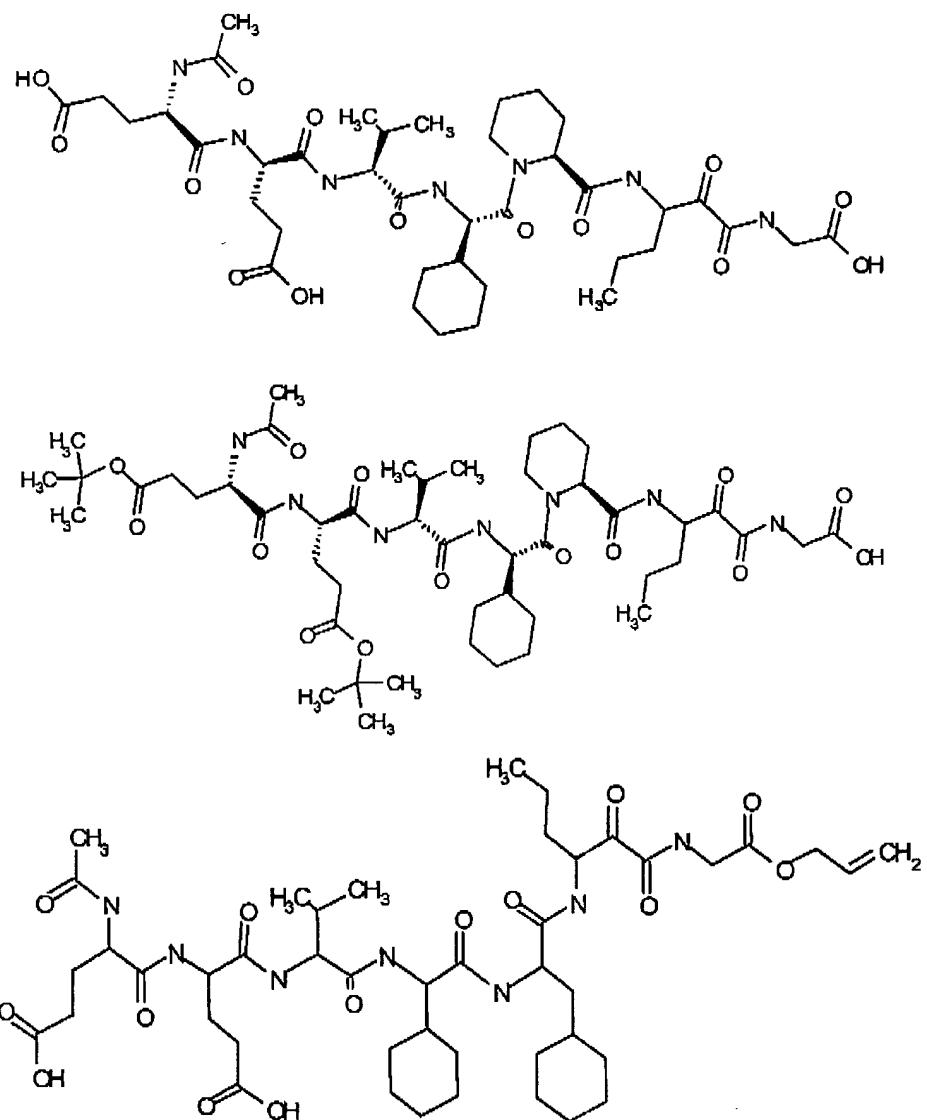


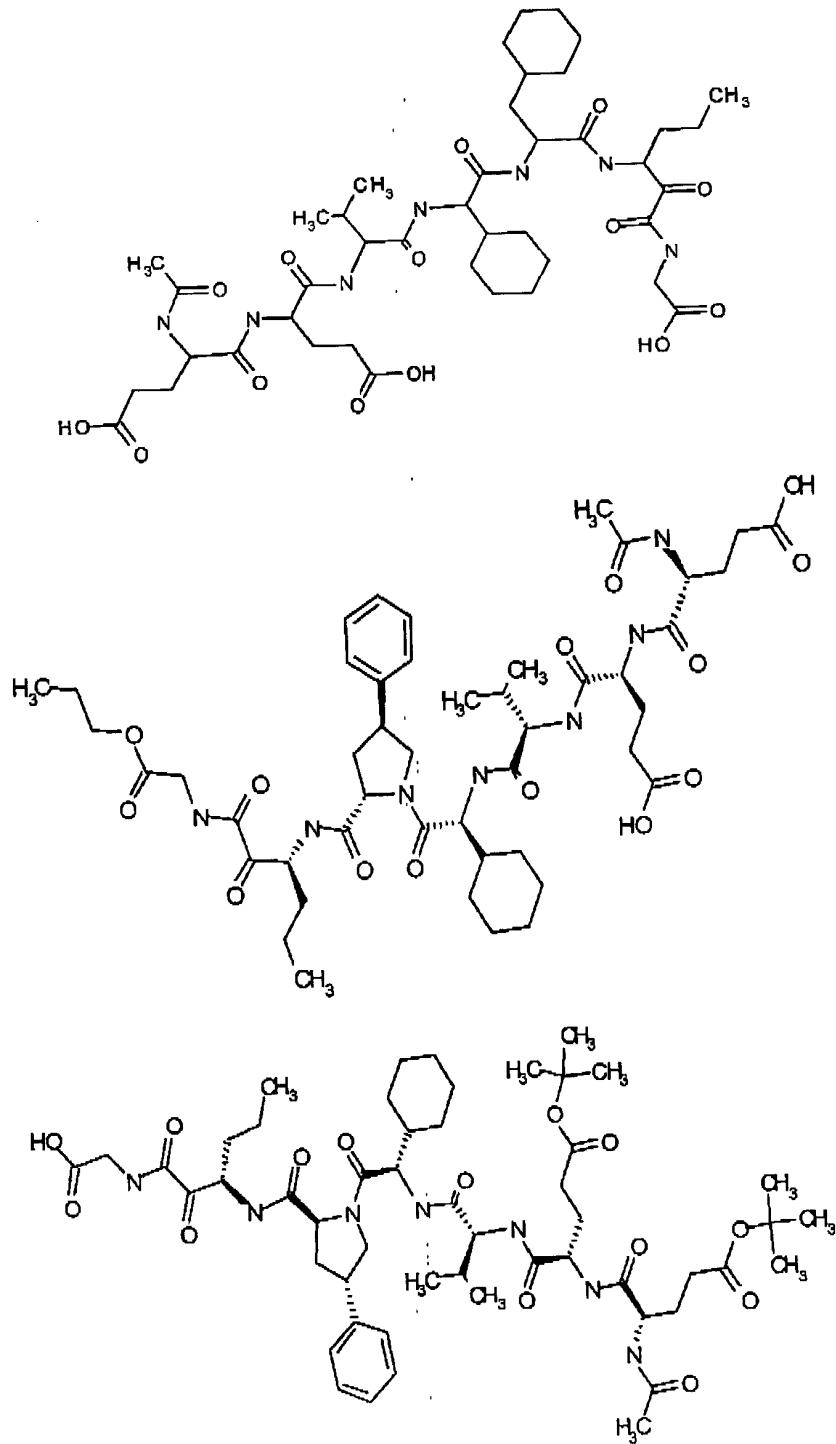


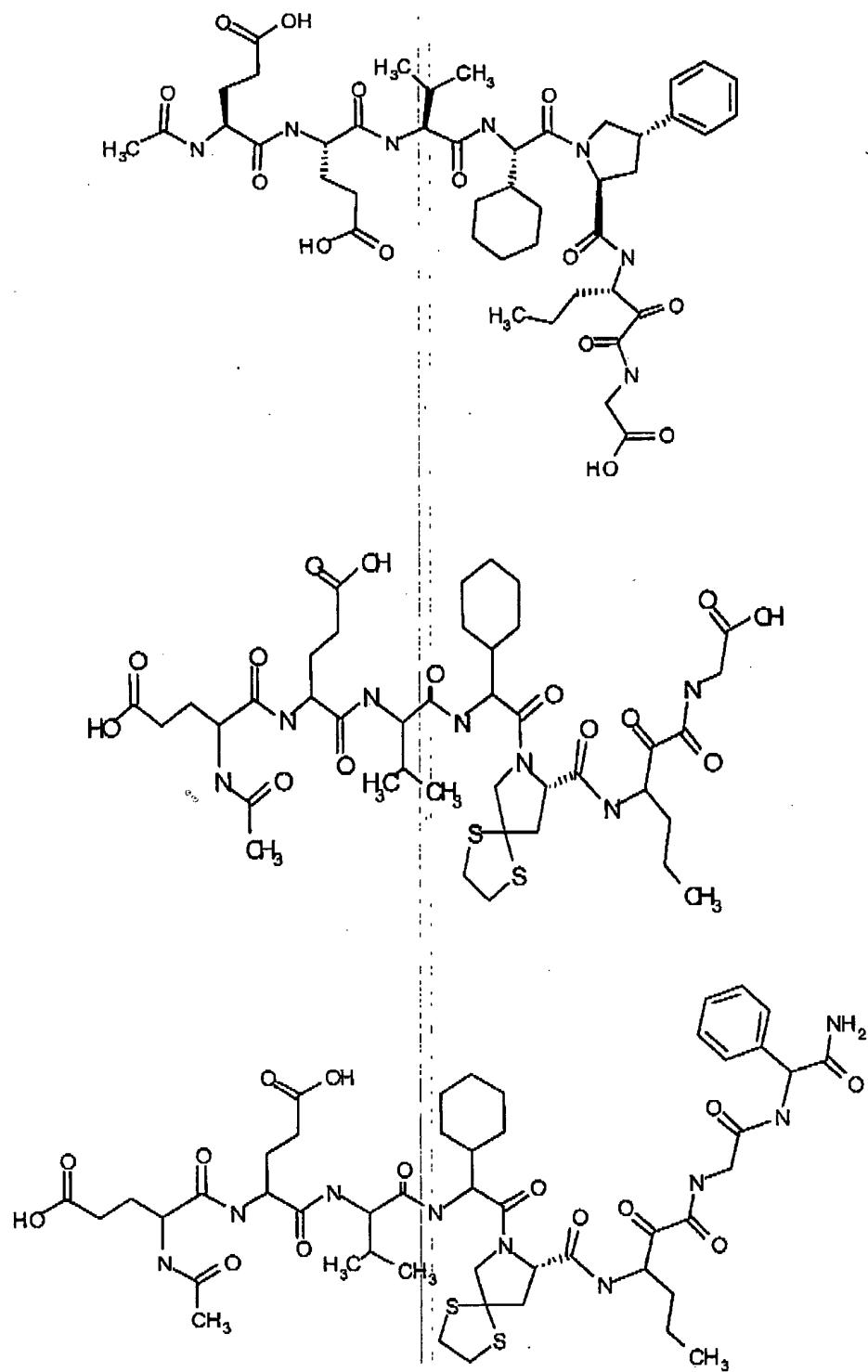


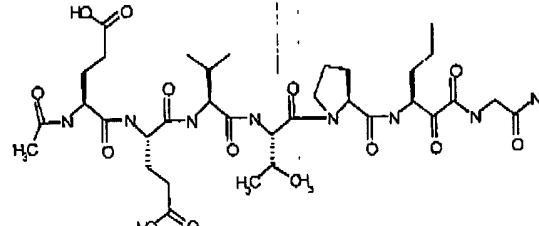
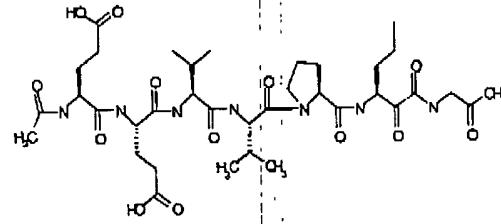
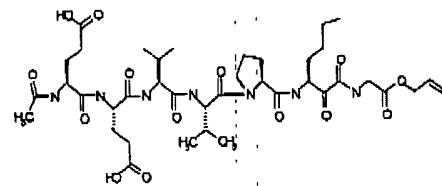
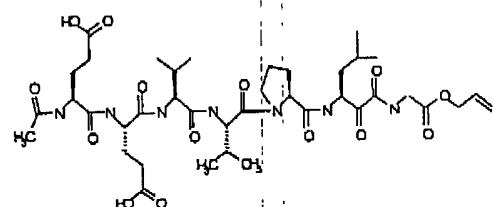
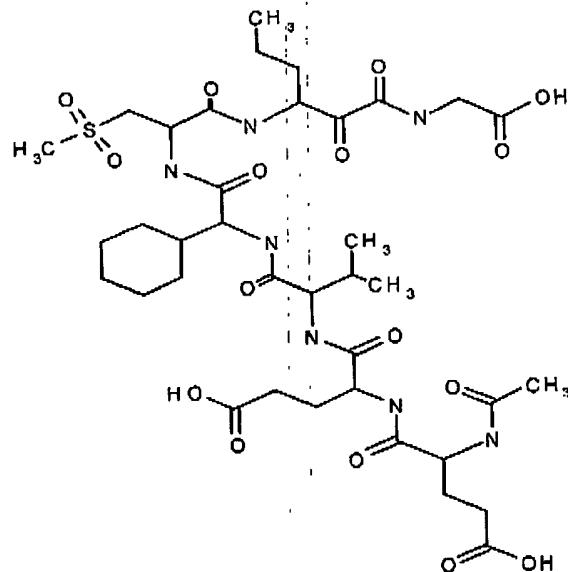


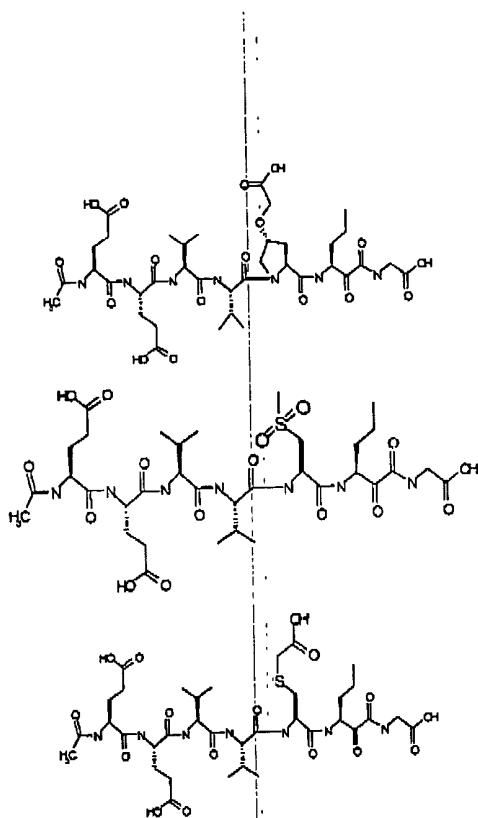




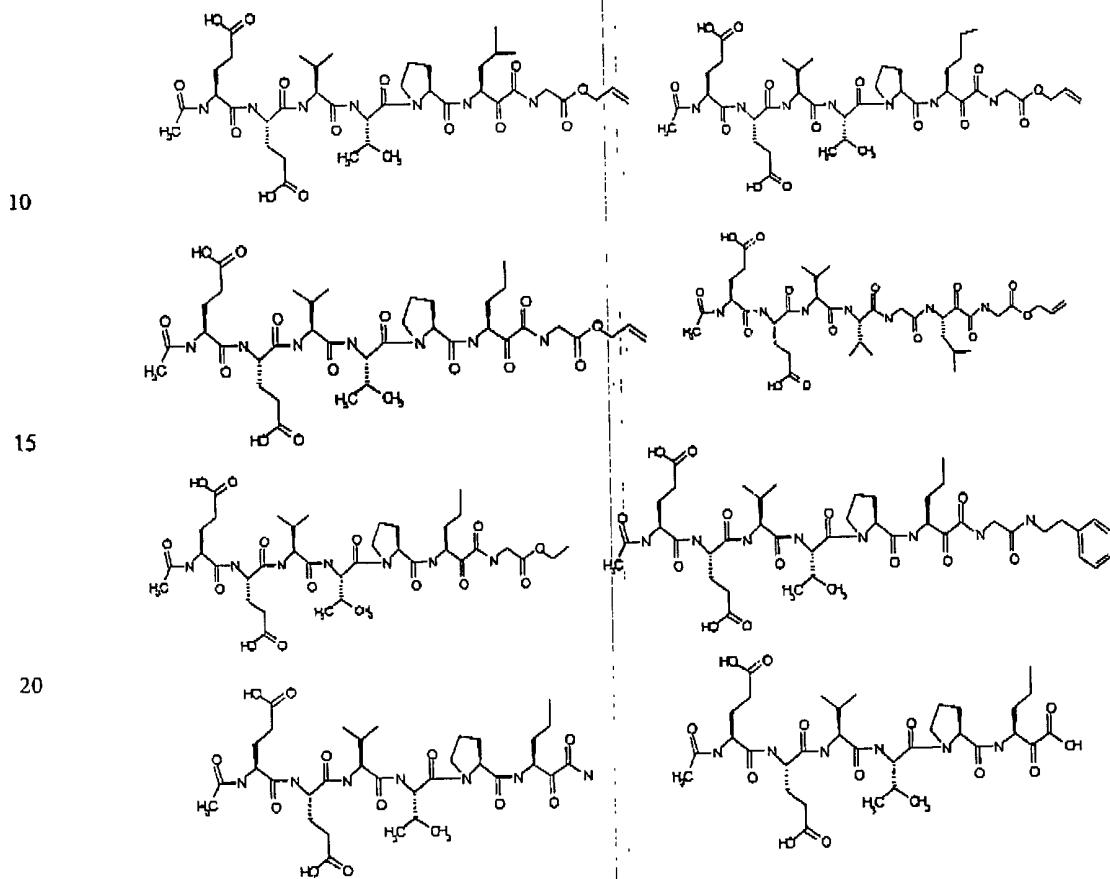


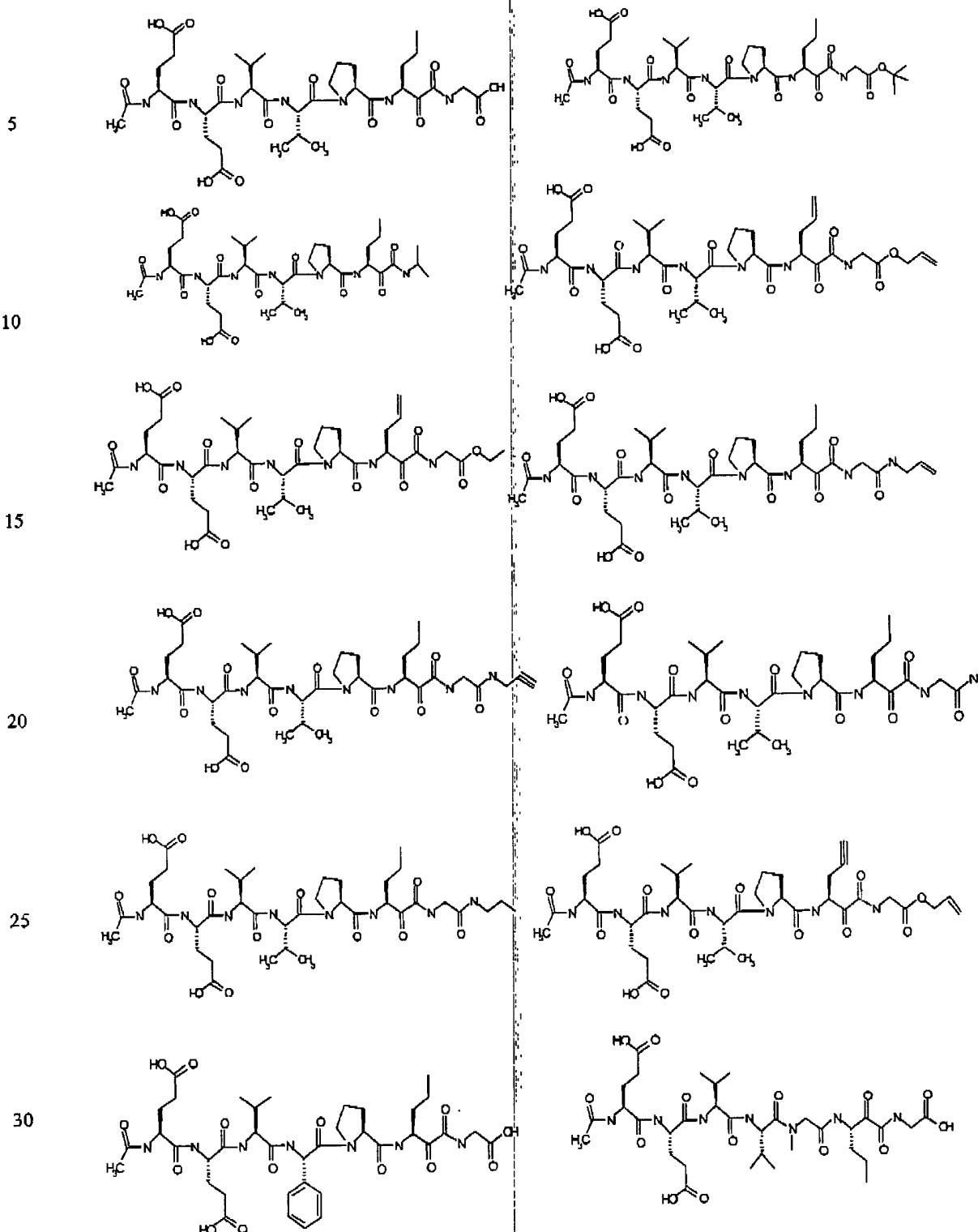


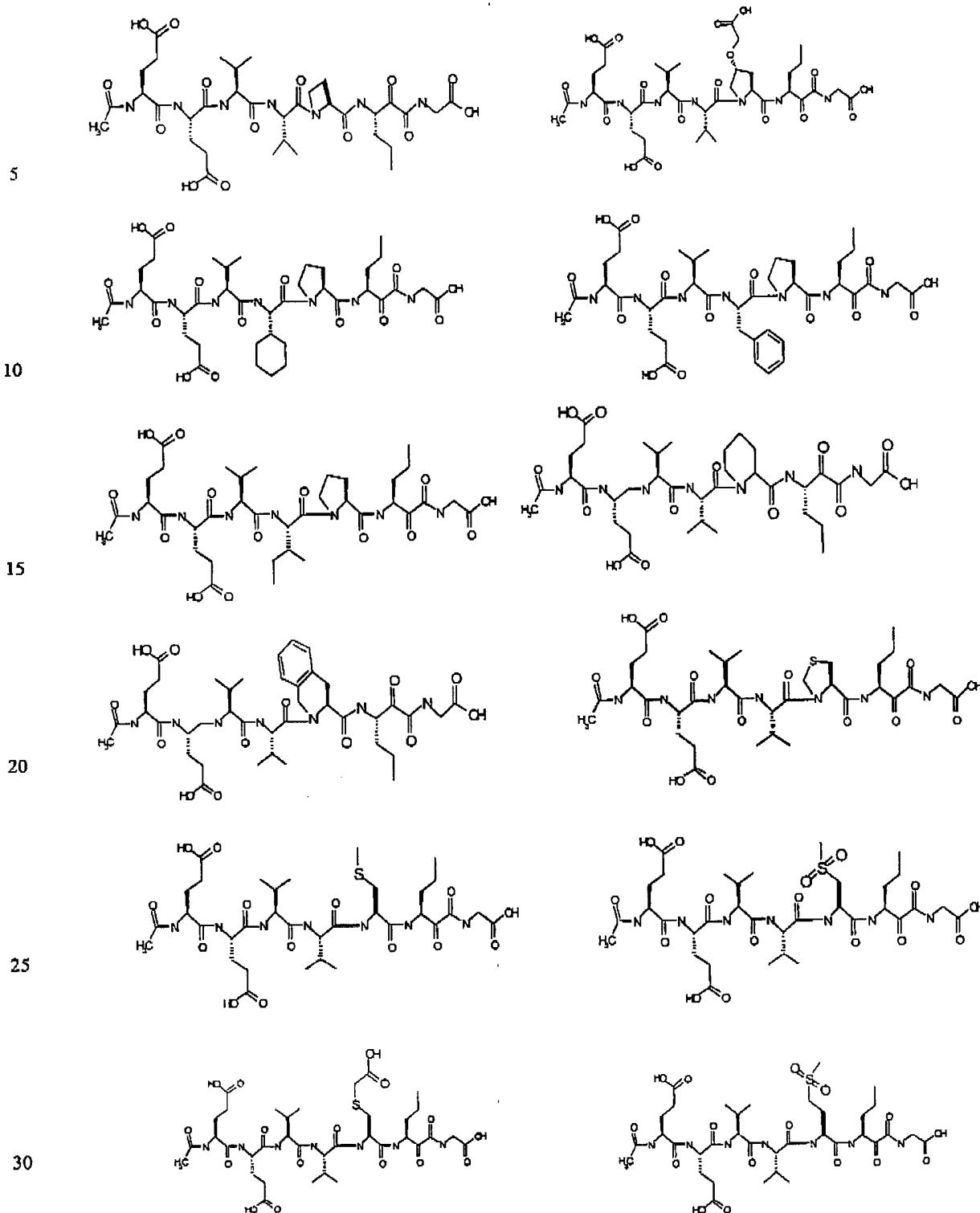


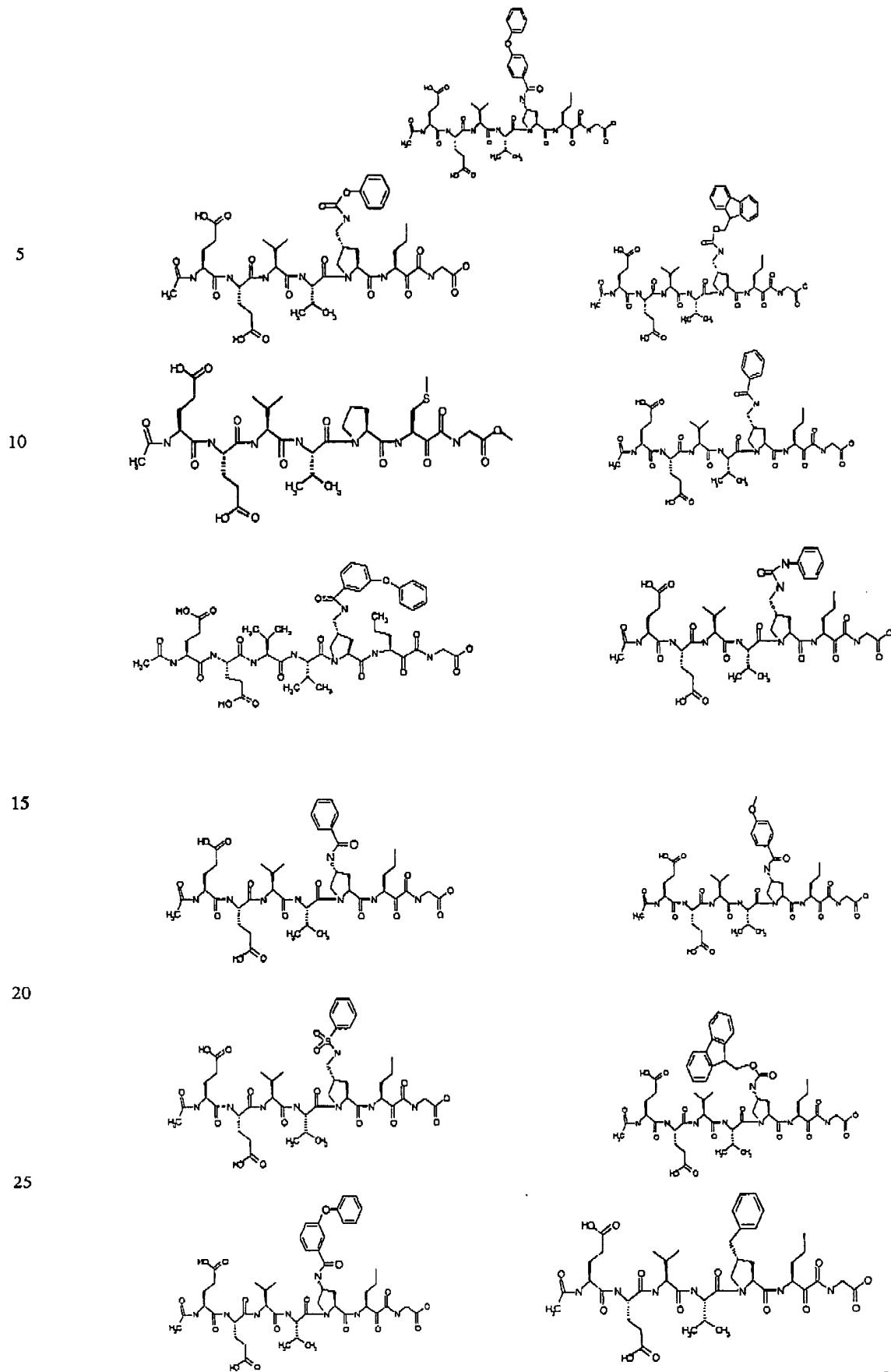


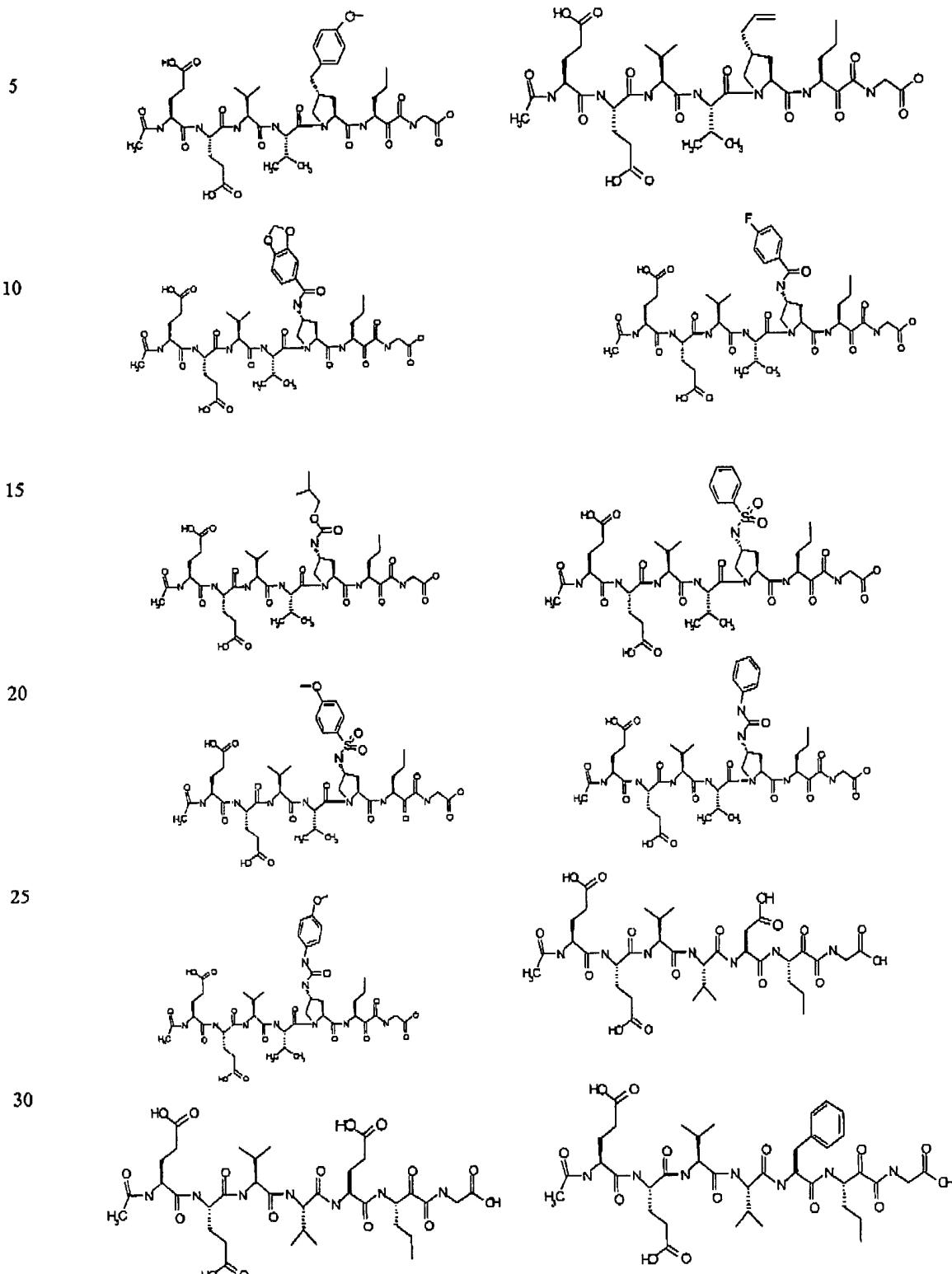
5 45. A compound selected from the group consisting of:

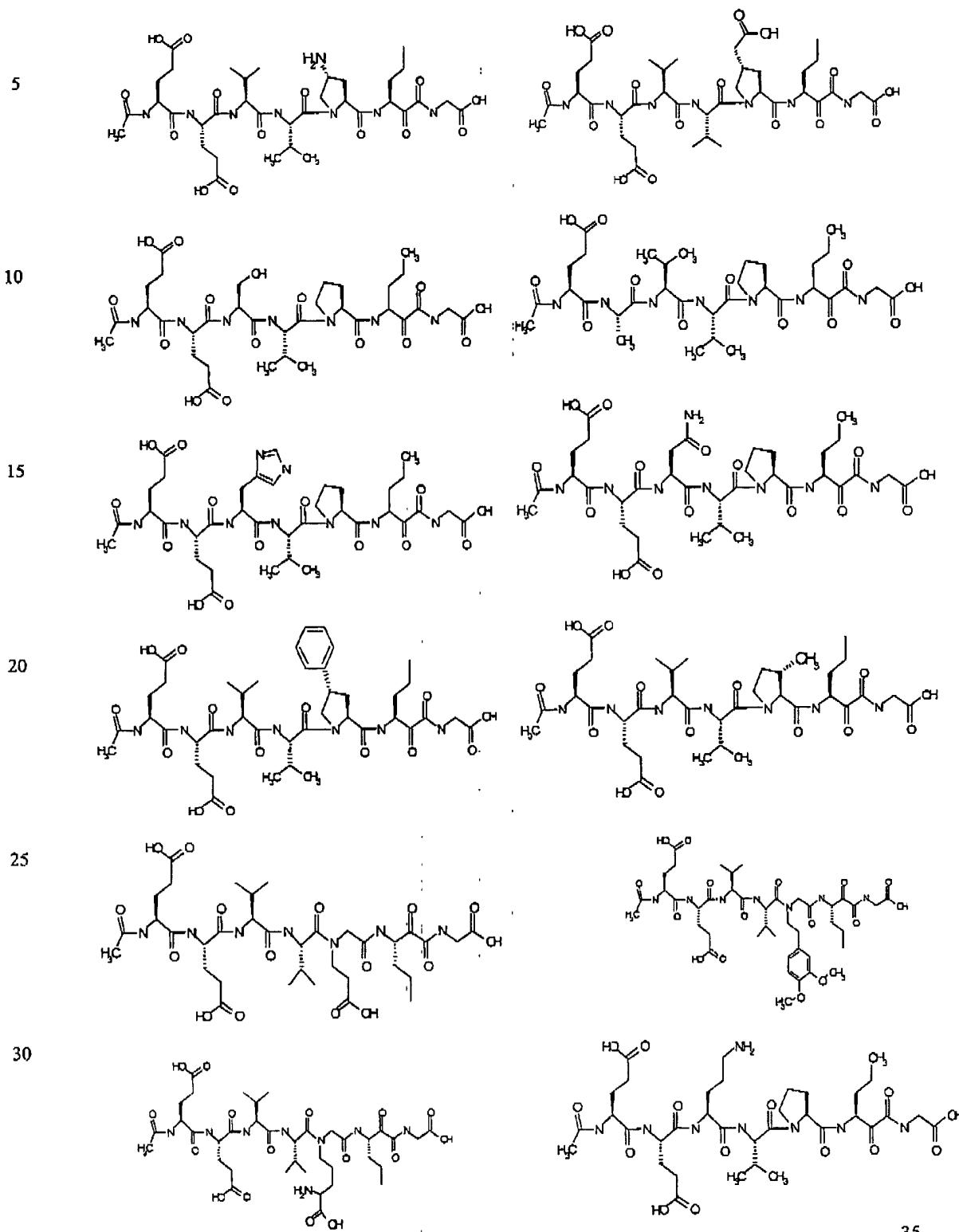


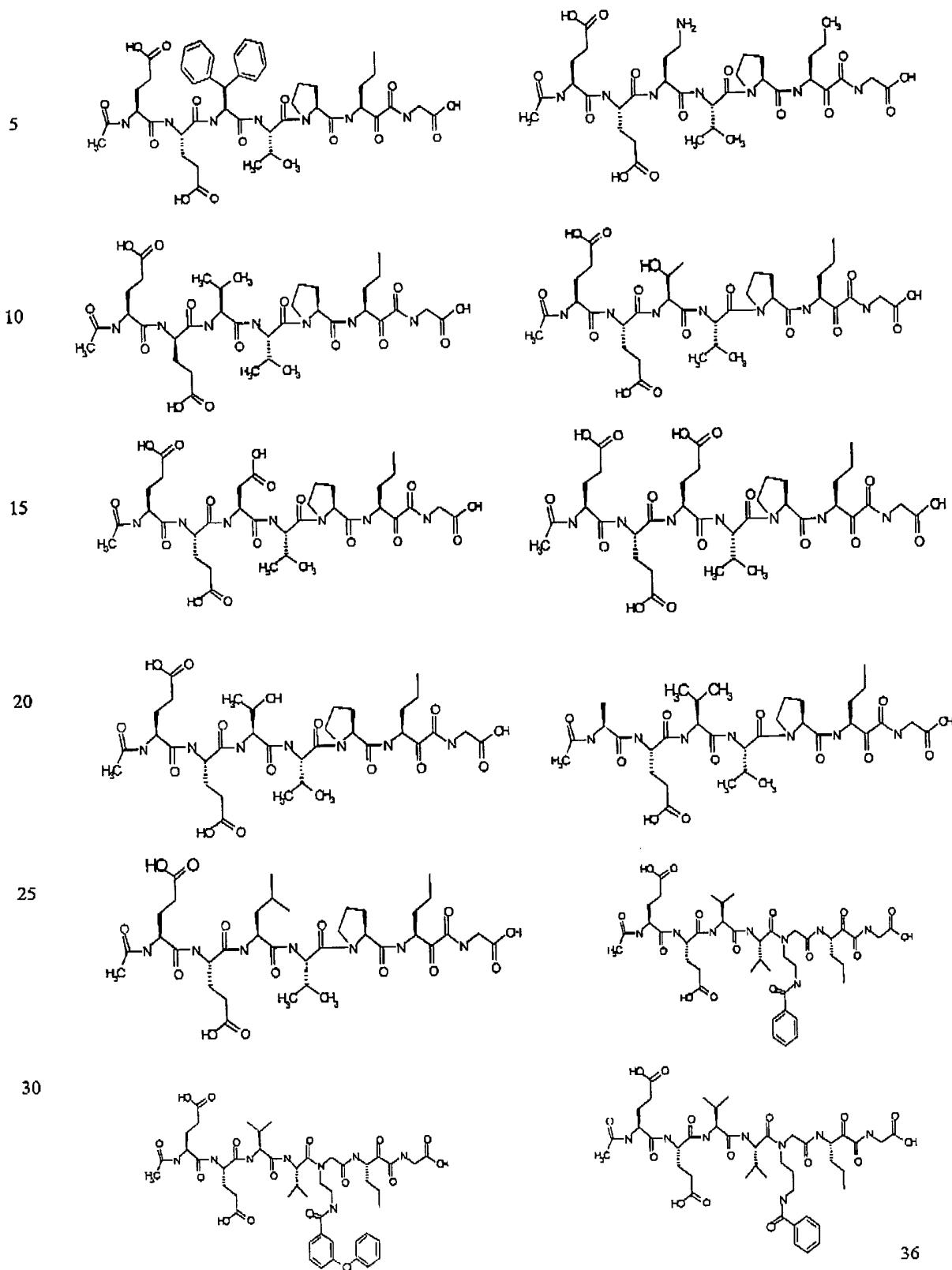


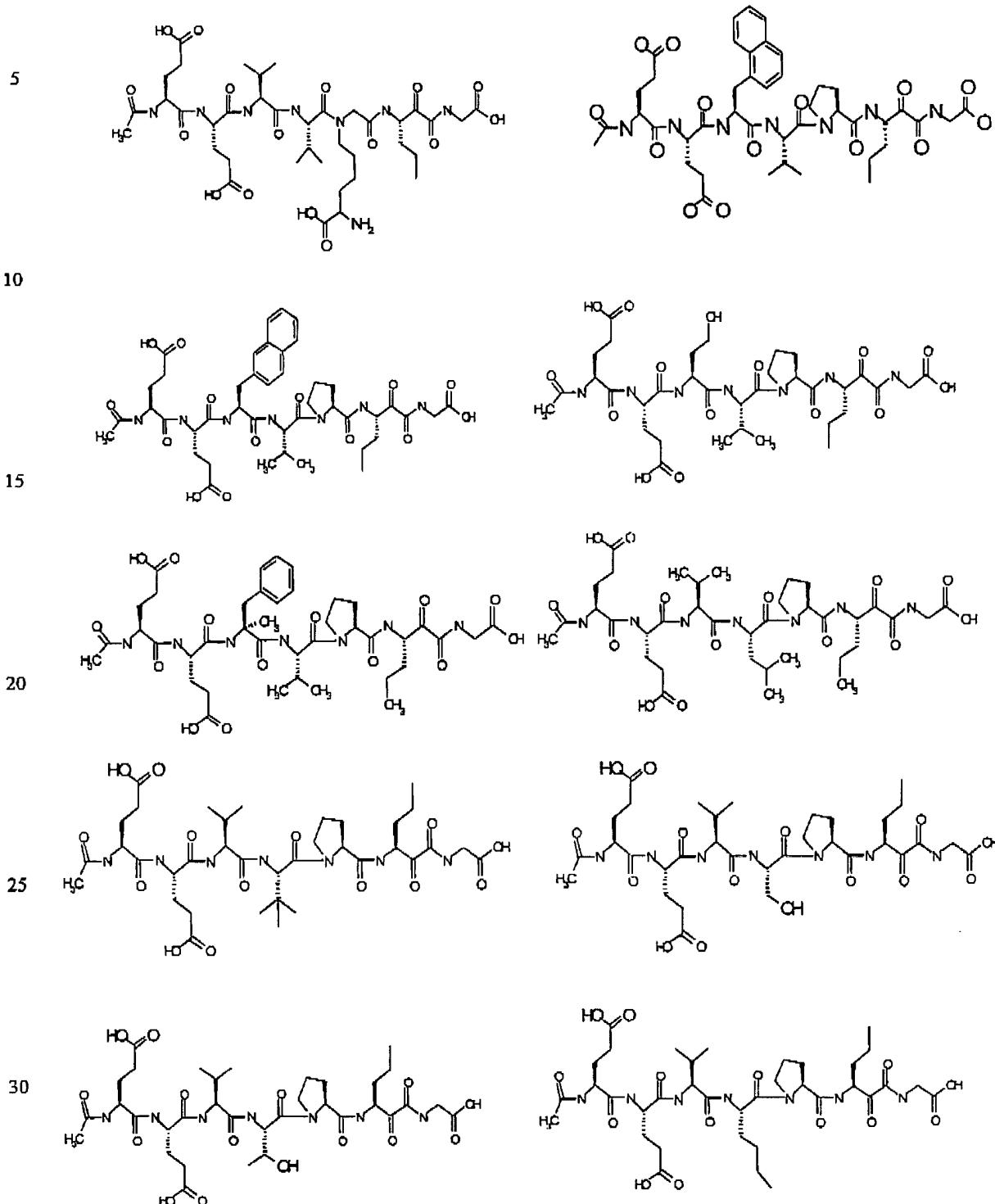


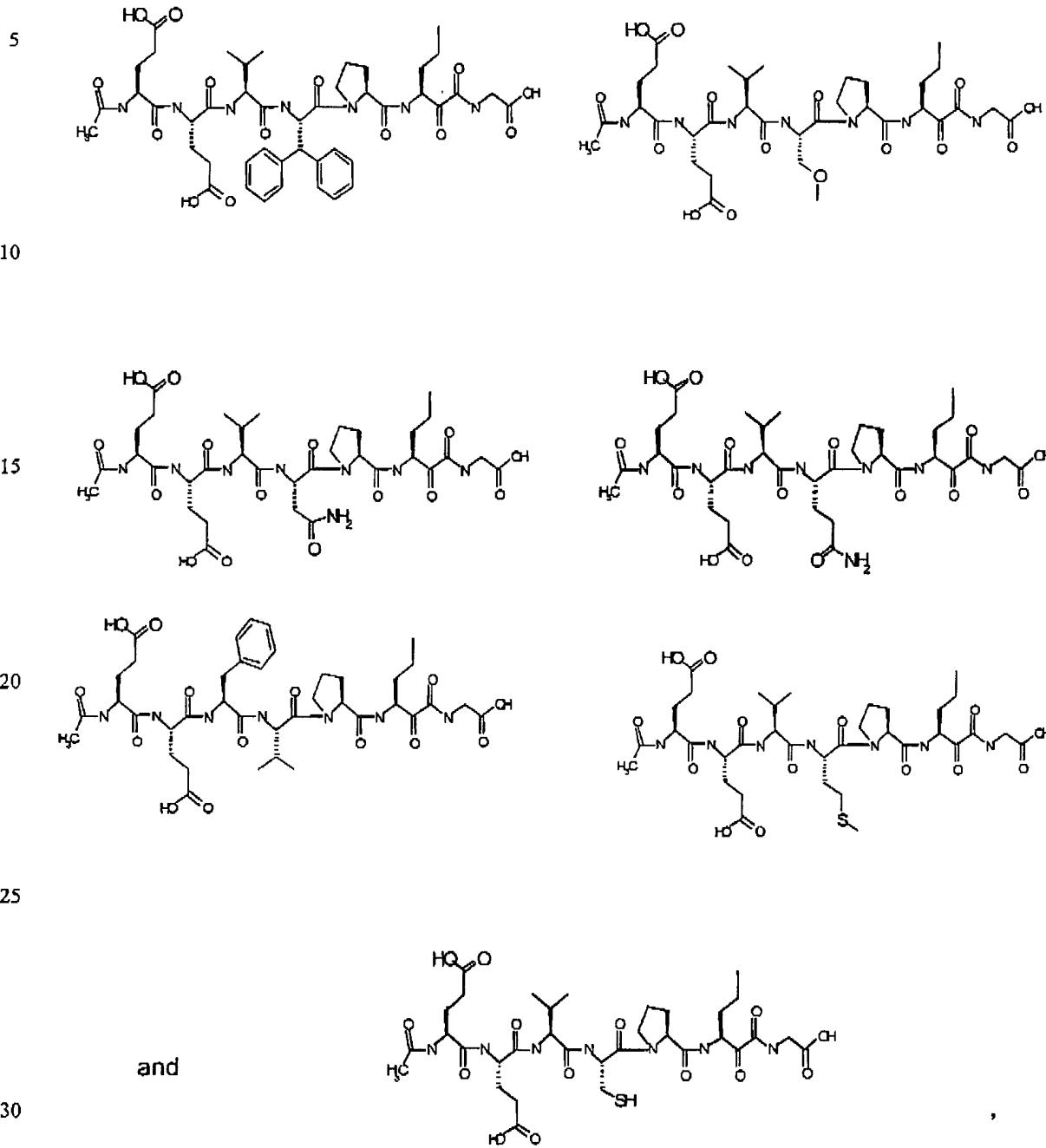










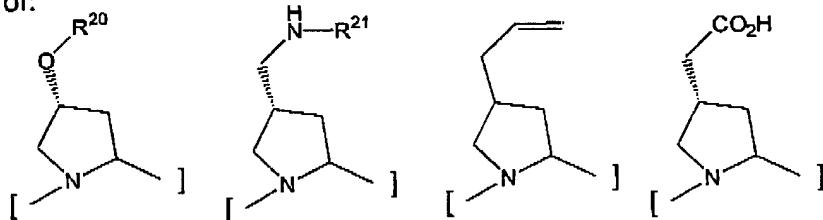


or an enantiomer, stereoisomer, rotamer or tautomer thereof, or a pharmaceutically acceptable salt or solvate thereof, wherein the compound exhibits HCV inhibitory activity.

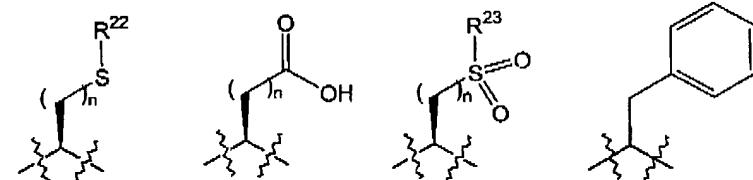
46. A pharmaceutical composition, comprising one or more compounds of
5 claim 45.

53. The compound of claim 17, wherein P2 is selected from the group consisting of:

10



15



wherein:

n is 0, 1, 2 or 3;

20 R²⁰ is alkylene-COOH;

R²¹ is C(O)alkyl, CO₂alkyl, C(O)aryl, CO₂aryl, SO₂alkyl, SO₂aryl, CONHalkyl, or CONHaryl;

R²² is alkyl or alkylene-COOH; and

R²³ is alkyl.

25 54. The compound of claim 53, wherein:

R²⁰ is CH₂COOH;

R²¹ is CO₂Ph, COPh, CO₂CH₂-9-fluorenyl, CO-(3-phenoxyphenyl), SO₂Ph

or CONHPh;

R²² is methyl or CH₂COOH; and

30 R²³ is methyl.